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        JAN 08 CHEMLIST enhanced with New Zealand Inventory of Chemicals
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NEWS 20
                CA/CAplus Company Name Thesaurus enhanced and reloaded
NEWS 21
        JAN 16
                IPC version 2007.01 thesaurus available on STN
NEWS 22
        JAN 16 WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT
             MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
             AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.
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=>
Uploading C:\Program Files\Stnexp\Queries\10534582.str

chain nodes : 17 18 19 20 21 22 23 24 25 27 ring nodes : 1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 chain bonds : 2-25 3-24 4-23 7-17 8-18 9-22 10-11 13-21 18-19 18-20 19-27 ring bonds : 1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 11-12 11-16 12-13 13-14 14-15 15-16 exact/norm bonds : 5-7 6-10 7-8 7-17 8-9 9-10 10-11 13-21 18-19 18-20 19-27 exact bonds : 2-25 3-24 4-23 8-18 9-22 normalized bonds : 1-2 1-6 2-3 3-4 4-5 5-6 11-12 11-16 12-13 13-14 14-15 15-16 isolated ring systems : containing 1 : 11 :

G1:H,Ak

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 18:CLASS 19:CLASS 20:CLASS 21:Atom 22:CLASS 23:CLASS 24:CLASS 25:CLASS 27:CLASS

L1 STRUCTURE UPLOADED

=> d 11 L1 HAS NO ANSWERS L1 STR

G1 H,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 15:41:22 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED -71 TO ITERATE

100.0% PROCESSED

71 ITERATIONS

7 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:

ONLINE **COMPLETE** **COMPLETE**

PROJECTED ITERATIONS:

915 TO 1925

PROJECTED ANSWERS:

298

7 TO

L2

7 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 15:41:27 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED -1533 TO ITERATE

100.0% PROCESSED

1533 ITERATIONS

166 ANSWERS

SEARCH TIME: 00.00.01

L3

166 SEA SSS FUL L1

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172.55 172.76

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=> s 13 full

L4 8 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:59080 CAPLUS

DOCUMENT NUMBER: 144:318791

TITLE: Preparation and Solid-State Characterization of

Nonstoichiometric Cocrystals of a Phosphodiesterase-IV

Inhibitor and L-Tartaric Acid

AUTHOR(S): Variankaval, Narayan; Wenslow, Robert; Murry, Jerry;

Hartman, Robert; Helmy, Roy; Kwong, Elizabeth; Clas,

Sophie-D.; Dalton, Chad; Santos, Ivan

CORPORATE SOURCE: Merck Research Laboratories, Merck & Co., Rahway, NJ,

07065, USA

SOURCE: Crystal Growth & Design (2006), 6(3), 690-700

CODEN: CGDEFU; ISSN: 1528-7483

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

Evidence for a series of nonstoichiometric, isostructural, cocryst. complexes of L-883555, a phosphodiesterase-IV inhibitor, and L-tartaric acid with stoichiometries ranging from 0.3:1 to 0.9:1 is reported here. The free base form of this compound had insufficient bioavailability and, hence, could not be developed as a candidate for safety assessment studies. Several L-tartaric acid complexes were produced during an attempted salt-formation process, with the objective of increasing the bioavailability. It was found that the amount of L-tartaric acid incorporated in the cocryst. complexes could be controlled by adjusting the acid:base ratio in the reaction mixture without accompanying proton transfer between acid and base. Spectroscopic techniques were employed to locate the site of intermol. interaction between the acid and base as the N-oxide group in the base and the carboxylic acid of L-tartaric acid. Thermal and spectroscopic anal. of the degradation behavior for the various complexes showed the existence of at least two types of binding between the acid and base in those complexes with stoichiometries >0.5:1. The canonical hemitartrate complex was found to be more thermally stable than the other complexes, with acid:base stoichiometries lesser than or greater than 0.5:1 and was found to have much higher bioavailability than the free base in rhesus monkeys. This work shows the potential of designing suitable cocryst. complexes driven by favorable interactions between an acid and base in cases where conventional proton transfer does not occur to form a true salt, offering a route toward increased bioavailability in poorly absorbed compds.

IT 477252-51-0D, L 883555, complex with L-tartaric acid RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation and solid-state characterization of nonstoichiometric cocrystals of a phosphodiesterase-IV inhibitor and L-tartaric acid)

RN 477252-51-0 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[6-(1-

hydroxy-1-methylethyl)-1-oxido-3-pyridinyl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2005:510348 CAPLUS

DOCUMENT NUMBER:

143:193927

TITLE:

Practical application of new catalytic methods: a

concise synthesis of a potent PDE IV inhibitor

AUTHOR(S):

Albaneze-Walker, Jennifer; Murry, Jerry A.; Soheili, Arash; Ceglia, Scott; Springfield, Shawn A.; Bazaral,

Charles; Dormer, Peter G.; Hughes, David L.

CORPORATE SOURCE:

Process Research, Merck Research Laboratories, Merck

and Co., Inc., Rahway, NJ, 07065, USA Tetrahedron (2005), 61(26), 6330-6336

CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER:

SOURCE:

Elsevier B.V.

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 143:193927

GΙ

AB An efficient synthesis of a potent PDE IV inhibitor I is described. The synthesis is highlighted by two practical and efficient catalytic reactions: a highly selective catalytic palladium mediated carbonylation of the pyridine side chain and an efficient palladium-catalyzed Suzuki-Miyaura coupling of a chloropyridine-N-oxide.

IT 477252-51-0P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation) (scalable preparation of (cyclopropylcarbamoyl)(oxidopyridylphenyl)naphthyri done as PDE IV inhibitor using regioselective carbonylation and Suzuki-Miyaura cross-coupling as key steps)

RN 477252-51-0 CAPLUS
CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[6-(1-hydroxy-1-methylethyl)-1-oxido-3-pyridinyl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2004:1059128 CAPLUS

DOCUMENT NUMBER:

142:32997

TITLE:

Use of phosphatase inhibitors as adjunct therapy for

psychiatric disorders Scolnick, Edward M.

INVENTOR(S):
PATENT ASSIGNEE(S):

Merck & Co., Inc., USA PCT Int. Appl., 51 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

SOURCE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT	NO.		KIND		<u> </u>		APPL:					D	ATE	
WO 2004	105698	;		200	1209		WO 2					2	0040	525
W: RW:	CN, C GE, G LK, L NO, N TJ, T BW, G AZ, B EE, E	AG, AL, CO, CR, CH, GM, R, LS, IZ, OM, TN, CH, GM, SH, GM, SY, KG, CS, FI, CK, TR,	CU, HR, LT, PG, TR, KE, KZ, FR,	CZ, DE, HU, ID, LU, LV, PH, PL, TT, TZ, LS, MW, MD, RU, GB, GR	DK, IL, MA, PT, UA, MZ, TJ,	DM, IN, MD, RO, UG, NA, TM, IE,	DZ, IS, MG, RU, US, SD, AT, IT,	EC, JP, MK, SC, UZ, SL, BE, LU,	EE, KE, MN, SD, VC, SZ, BG, MC,	EG, KG, MW, SE, VN, TZ, CH, NL,	ES, KP, MX, SG, YU, UG, CY, PL,	FI, KR, MZ, SK, ZA, ZM, CZ, PT,	GB, KZ, NA, SL, ZM, ZW, DE, RO,	GD, LC, NI, SY, ZW AM, DK, SE,
FD 1623	SN, T	D, TG												
EP 1633 R:	AT, B	SE, CH,	DE,	DK, ES	FR,	GB,	GR,	IT,	LI,	LU,				
US 2006 PRIORITY API	5258668	,	A1	200	51116	1	•	005-! 003-	5576 4741	48 68P	1	2		529

AB The use of a phosphatase inhibitor in conjunction with psychotherapy

provides enhanced therapeutic results in the treatment of psychiatric disorders including, for example, specific phobias, panic disorders, anxiety disorders including posttraumatic stress disorders, and obsessive-compulsive disorder. The phosphatase that is inhibited can be calcineurin or protein phosphatase 1. Treatment with a phosphatase inhibitor can be combined with a phosphodiesterase 4 inhibitor.

IT 701263-25-4P, N-Cyclopropyl-4-oxo-1-[3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-1,4-dihydro-1,8-naphthyridine-3-carboxamide 702640-57-1P 702640-64-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; use of phosphatase inhibitors such calcineurin and protein phosphatase 1 as adjunct therapy for psychiatric disorders combined with phosphodiesterase 4 inhibitors)

RN 701263-25-4 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-4-oxo-1-[3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 702640-57-1 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-, methyl ester, (1R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 702640-64-0 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl]-3-fluoro[1,1'-biphenyl]-4-yl]-, methyl ester, (1R,2R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

IT 702639-56-3P, 2-[3'-[3-[(Cyclopropylamino)carbonyl]-4-oxo-1.8naphthyridin-1(4H)-yl]-1,1'-biphenyl-4-yl]-2-methylpropanoic acid 702639-58-5P 702639-59-6P 702639-63-2P, 2-trans-[3'-[3-[(Cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)yl]-3-fluoro-1,1'-biphenyl-4-yl]cyclopropanecarboxylic acid 702639-69-8P, 2-trans-[3-Chloro-3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl]-1,1'-biphenyl-4-yl]cyclopropanecarboxylic acid 702639-71-2P, 2-cis-[3'-[3-[(Cyclopropylamino)carbonyl]-4oxo-1,8-naphthyridin-1(4H)-yl]-3-fluoro-1,1'-biphenyl-4yl]cyclopropanecarboxylic acid 702639-90-5P, (+)-trans-2-[3-Fluoro-3'-[4-0x0-3-[[(2,2,2-trifluoroethyl)amino]carbonyl]-1,8-naphthyridin-1(4H)-yl]biphenyl-4-yl]cyclopropanecarboxylic acid 702639-91-6P 702639-93-8P, trans-2-[3'-[3-[(Cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl]biphenyl-4yl]-2-methylcyclopropanecarboxylic acid 702639-95-0P, 2-trans-[3'-[3-[(Cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)yl]-1,1'-biphenyl-4-yl]cyclopropanecarboxylic acid 702639-96-1P, 3-Methyl-3-[3'-[4-oxo-3-[[(2,2,2-trifluoroethyl)amino]carbonyl]-1,8naphthyridin-1(4H)-yl]biphenyl-4-yl]butanoic acid 702639-98-3P 702640-04-8P, (+)-trans-2-[3-Chloro-3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl]biphenyl-4yl]cyclopropanecarboxylic acid 702640-06-0P, (-)-trans-2-[3'-[4-0xo-3-[[(2,2,2-trifluoroethyl)amino]carbonyl]-1,8naphthyridin-1(4H)-yl]biphenyl-4-yl]cyclopropanecarboxylic acid 702640-13-9P, 3-[3'-[3-[(Cyclopropylamino)carbonyl]-4-oxo-1,8naphthyridin-(4H)-yl]biphenyl-4-yl]-2,2-dimethylpropanoic acid 702640-28-6P, 4-[3'-[3-[(Cyclopropylamino)carbonyl]-4-oxo-1,8naphthyridin-(4H)-yl]biphenyl-4-yl]-3,3-dimethylbutanoic acid 702640-30-0P, 1-[[3'-[4-0xo-3-[[(2,2,2-1)]]]]trifluoroethyl)amino]carbonyl]-1,8-naphthyridin-1(4H)-yl]biphenyl-4yl]methyl]cyclobutanecarboxylic acid 702640-35-5P, 2,2-Dimethyl-4-[3'-[4-oxo-3-[[(2,2,2-trifluoroethyl)amino]carbonyl]-1,8naphthyridin-1(4H)-yl]biphenyl-4-yl]butanoic acid RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(use of phosphatase inhibitors such calcineurin and protein phosphatase 1 as adjunct therapy for psychiatric disorders combined with 'phosphodiesterase 4 inhibitors)

RN 702639-56-3 CAPLUS

CN [1,1'-Biphenyl]-4-propanoic acid, 3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl]-β,β-dimethyl- (9CI) (CA INDEX NAME)

RN 702639-58-5 CAPLUS

CN Cyclopropanecarboxylic acid, 1-[3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)

RN 702639-59-6 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-, (1R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 702639-63-2 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl]-3-fluoro[1,1'-biphenyl]-4-yl]-, (1R,2R)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 702639-69-8 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3-chloro-3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-, (1R,2R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 702639-71-2 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl]-3-fluoro[1,1'-biphenyl]-4-yl]-, (1R,2S)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 702639-90-5 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3-fluoro-3'-[4-oxo-3-[[(2,2,2-trifluoroethyl)amino]carbonyl]-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-, (1R,2R)-rel-(+)- (9CI) (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.

RN 702639-91-6 CAPLUS

CN Cyclobutanecarboxylic acid, 1-[[3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)

RN 702639-93-8 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-2-methyl-, (1R,2R)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 702639-95-0 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-2-yl]-, (1R,2R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 702639-96-1 CAPLUS

CN [1,1'-Biphenyl]-4-propanoic acid, β , β -dimethyl-3'-[4-oxo-3-[[(2,2,2-trifluoroethyl)amino]carbonyl]-1,8-naphthyridin-1(4H)-yl]- (9CI) (CA INDEX NAME)

$$HO_2C-CH_2-C$$
 Me
 N
 N
 $C-NH-CH_2-CF_3$

RN 702639-98-3 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3'-[4-oxo-3-[[(2,2,2-trifluoroethyl)amino]carbonyl]-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-2-yl]-, (1R,2R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 702640-04-8 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3-chloro-3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-, (1R,2R)-rel-(+)- (9CI) (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.

RN 702640-06-0 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3'-[4-oxo-3-[[(2,2,2-trifluoroethyl)amino]carbonyl]-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-, (1R,2R)-rel-(-)- (9CI) (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.

RN 702640-13-9 CAPLUS

CN [1,1'-Biphenyl]-4-propanoic acid, 3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl]- α , α -dimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me \\ HO_2C-C-CH_2 \\ Me \\ \end{array}$$

RN 702640-28-6 CAPLUS

CN [1,1'-Biphenyl]-4-butanoic acid, $3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl]-<math>\beta$, β -dimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me \\ HO_2C-CH_2-C-CH_2 \\ \hline Me \\ \hline \end{array}$$

RN 702640-30-0 CAPLUS

CN Cyclobutanecarboxylic acid, 1-[[3'-[4-oxo-3-[[(2,2,2-trifluoroethyl)amino]carbonyl]-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)

RN 702640-35-5 CAPLUS

CN [1,1'-Biphenyl]-4-butanoic acid, α,α -dimethyl-3'-[4-oxo-3-[[(2,2,2-trifluoroethyl)amino]carbonyl]-1,8-naphthyridin-1(4H)-yl]- (9CI) (CA INDEX NAME)

ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2004:467891 CAPLUS

DOCUMENT NUMBER:

141:38597

TITLE:

Preparation of aryl substituted 1,8-naphthyridin-4(1H)-

ones as inhibitors of phosphodiesterase-4

INVENTOR(S):

Albaneze-Walker, Jennifer; Murry, Jerry Anthony;

Soheili, Arash; Springfield, Shawn A.

PATENT ASSIGNEE(S):

Merck & Co., Inc., USA

SOURCE:

PCT Int. Appl., 142 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

WO 2004048377 A2 20040902 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TCA 2506656 A1 20040610 CA 2003-2506656 20031118 AU 2003291050 A1 20040618 AU 2003-291050 20031118 EP 1565466 A2 20050824 EP 2003-783636 20031118 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK BR 2003016464 A 20051011 BR 2003-16464 20031118 CN 1738818 A 20060222 CN 2003-80108946 20031118
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CM 1739919 7 20060222 CM 2002_90109946 20021110
JP 2006513271 T 20060420 JP 2005-510366 20031118
US 2006019981 A1 20060126 US 2005-530465 20050405
NO 2005003047 A 20050810 NO 2005-3047 20050621
IORITY APPLN. INFO.: US 2002-428315P P 20021122
US 2003-472655P P 20030522
WO 2003-US36806 W 20031118

OTHER SOURCE(S):

MARPAT 141:38597

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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB In one aspect, the present invention is directed to a one pot method of preparing intermediates I [OR1 = a suitable leaving group; R3 = (un) substituted alkyl, aryl, heteroaryl], which are useful in making inhibitors of phosphodiesterase-4. The present invention is also directed to a method of preparing phosphodiesterase inhibitors (no biol. data given) comprising the compds. II and III which comprises reacting IV [OR1 as above] with a 3-bromopyridine-N-oxide. HCl or 3-bromopyridine in the presence of a palladium catalyst and a phosphine ligand in amine base followed by reaction of the resulting V or VI with cyclopropylamine, optionally in the presence of catalyst. Thus, reacting IV [R1 = Et] with a 3-bromopyridine-N-oxide. HCl in the presence of [(ally1)PdCl]2, P(tert-Bu)3, tert-amylamine in DMAc followed by reacting V [R1 = Et] with cyclopropylamine afforded II. Preparation of 77 aryl substituted 1,8-naphthyridin-4(1H)-ones and their intermediates is also described. 477251-83-5P 477251-87-9P 477251-92-6P IT 477251-93-7P 477251-95-9P 477251-97-1P 477251-99-3P 477252-00-9P 477252-01-0P 477252-05-4P 477252-08-7P 477252-09-8P 477252-11-2P 477252-23-6P 477252-25-8P 477252-26-9P 477252-31-6P 477252-32-7P 477252-36-1P 477252-43-0P 694489-52-6P 701977-61-9P RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of aryl substituted 1,8-naphthyridin-4(1H)-ones as inhibitors of phosphodiesterase-4) RN 477251-83-5 CAPLUS CN 1,8-Naphthyridine-3-carboxamide, 1-(4'-acetyl[1,1'-biphenyl]-3-yl)-1,4-

RN 477251-87-9 CAPLUS
CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-4-oxo-1-[3-(3-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

dihydro-N-(1-methylethyl)-4-oxo- (9CI) (CA INDEX NAME)

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RN 477251-92-6 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[3'-[3-[[(1-methylethyl)amino]carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 477251-93-7 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-4-oxo-1-[3-(3-quinolinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 477251-95-9 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-4-oxo-1-[3-(3-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 477251-97-1 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-1-[3-[5-(methylthio)-3-pyridinyl]phenyl]-4-oxo-(9CI) (CA INDEX NAME)

RN 477251-99-3 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[4'-(hydroxymethyl)[1,1'-biphenyl]-3-yl]-4-oxo-(9CI) (CA INDEX NAME)

$$HO-CH_2$$
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 N
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 $C-NH$

RN 477252-00-9 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-4-oxo-1-[3-(4-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 477252-01-0 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1-[4'-(ethylthio)[1,1'-biphenyl]-3-yl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-05-4 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-1-[4'-(methylthio)[1,1'-biphenyl]-3-yl]-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-08-7 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-1-[3-[5-(1-hydroxy-1-methylethyl)-3-pyridinyl]phenyl]-N-(1-methylethyl)-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-09-8 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-1-[3-[6-(2-methylpropyl)-3-pyridinyl]phenyl]-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-11-2 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-1-[3-(6-methyl-3-pyridinyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-23-6 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-(6-methyl-3-pyridinyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-25-8 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1-[3-(5-bromo-3-pyridinyl)phenyl]-N-cyclopropyl-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-26-9 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-4-oxo-1-[3-[6-(phenylmethoxy)-3-pyridinyl]phenyl]- (9CI) (CA INDEX NAME)

RN 477252-31-6 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-1-[3-[6-(1-hydroxy-1-methylethyl)-3-pyridinyl]phenyl]-N-(2-methylpropyl)-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-32-7 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1-[3-bromo-5-[6-(1-hydroxy-1-methylethyl)-3-pyridinyl]phenyl]-N-cyclopropyl-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-36-1 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-1-[3-[5-(methylsulfonyl)-3-pyridinyl]phenyl]-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-43-0 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[6'-(1-hydroxy-1-methylethyl)[3,3'-bipyridin]-5-yl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 694489-52-6 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-(3,5-dichloro-4-pyridinyl)-1,4-dihydro-4-oxo-1-[3-(3-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 701977-61-9 CAPLUS

CN [1,1'-Biphenyl]-3-carboxylic acid, 3'-[3-[[(1-methylethyl)amino]carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl]-, ethyl ester (9CI) (CA INDEX NAME)

IT

477251-85-7P 477251-88-0P 477251-89-1P 477251-94-8P 477252-02-1P 477252-03-2P 477252-04-3P 477252-06-5P 477252-10-1P 477252-12-3P 477252-13-4P 477252-14-5P 477252-15-6P 477252-16-7P 477252-17-8P 477252-21-4P 477252-22-5P 477252-24-7P 477252-27-0P 477252-28-1P 477252-30-5P 477252-33-8P 477252-34-9P 477252-35-0P 477252-37-2P 477252-38-3P 477252-39-4P 477252-40-7P 477252-41-8P 477252-44-1P 477252-46-3P 477252-47-4P 477252-48-5P 477252-49-6P 477252-50-9P 477252-51-0P 477252-53-2P 477252-54-3P 477252-55-4P 477252-56-5P 477252-57-6P 477252-58-7P 477252-59-8P 477252-60-1P 477252-61-2P 477252-62-3P 477252-63-4P 477252-64-5P 477252-65-6P 694489-50-4P 694489-58-2P 701977-62-0P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (preparation of aryl substituted 1,8-naphthyridin-4(1H)-ones as inhibitors of phosphodiesterase-4) 477251-76-6 CAPLUS 1,8-Naphthyridine-3-carboxamide, 1-(3'-acetyl[1,1'-biphenyl]-3-yl)-1,4dihydro-N-(1-methylethyl)-4-oxo- (9CI) (CA INDEX NAME)

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RN 477251-82-4 CAPLUS
CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-4-oxo-1-(4'-propyl[1,1'-biphenyl]-3-yl)- (9CI) (CA INDEX NAME)

RN 477251-84-6 CAPLUS
CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-1-(2'-methyl[1,1'-biphenyl]-3-yl)-N-(1-methylethyl)-4-oxo- (9CI) (CA INDEX NAME)

RN 477251-85-7 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1-(4'-acetyl[1,1'-biphenyl]-3-yl)-1,4-dihydro-N-methyl-N-(1-methylethyl)-4-oxo-(9CI) (CA INDEX NAME)

RN 477251-88-0 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-1-[3-(1H-indol-5-yl)phenyl]-N-(1-methylethyl)-4-oxo-(9CI) (CA INDEX NAME)

RN 477251-89-1 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1-(4'-acetyl[1,1'-biphenyl]-3-yl)-N-(1,1-dimethylethyl)-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

RN 477251-94-8 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-4-oxo-1-[3-(5-pyrimidinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 477252-02-1 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-4-oxo-1-[3-(3-thienyl)phenyl]- (9CI) (CA INDEX NAME)

RN 477252-03-2 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1-[4'-(aminosulfonyl)[1,1'-biphenyl]-3-yl]-N-cyclopropyl-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-04-3 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1-(3'-ethoxy[1,1'-biphenyl]-3-yl)-1,4-dihydro-N-(1-methylethyl)-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-06-5 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1-(3'-acetyl-4'-hydroxy[1,1'-biphenyl]-3-yl)-1,4-dihydro-N-(1-methylethyl)-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-10-1 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1-[3-(5-acetyl-3-pyridinyl)phenyl]-1,4-dihydro-N-(1-methylethyl)-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-12-3 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-(1-oxido-5-pyrimidinyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-13-4 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-1-[3-[6-(1-hydroxy-1-methylethyl)-1-oxido-3-pyridinyl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ HO & N & & \\ Me & C & & \\ Me & & N & N \\ & & & \\ Me & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & \\ & & \\ & & \\ & \\ & & \\ &$$

RN 477252-14-5 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-4-oxo-1-[4'-(3-pyridinyl)[1,1'-biphenyl]-3-yl]- (9CI) (CA INDEX NAME)

RN 477252-15-6 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[5-(methylsulfonyl)-3-pyridinyl]phenyl]-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-16-7 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[4-(1-hydroxy-1-methylethyl)-1-oxido-2-pyridinyl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-17-8 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[5-(1-hydroxy-1-methylethyl)-2-pyridinyl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-21-4 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[6-[(1-methylethyl)sulfonyl]-3-pyridinyl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-22-5 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-(6-methoxy-3-pyridinyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-24-7 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-4-oxo-1-[3-[6-(2,2,2-trifluoroethoxy)-3-pyridinyl]phenyl]- (9CI) (CA INDEX NAME)

RN 477252-27-0 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1-[3-[6-(dicyclopropylhydroxymethyl)-1-oxido-3-pyridinyl]phenyl]-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-28-1 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[5-(1-hydroxy-1-methylethyl)-1-oxido-2-pyridinyl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-30-5 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[6-(1-hydroxy-1-methylethyl)-3-pyridinyl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-33-8 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[6-(1-hydroxy-1-methylethyl)-2-pyridinyl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-34-9 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-1-[4'-(methylsulfonyl)[1,1'-biphenyl]-3-yl]-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-35-0 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[6-(methylsulfonyl)-3-pyridinyl]phenyl]-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-37-2 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1-[4'-(ethylsulfonyl)[1,1'-biphenyl]-3-yl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-38-3 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1-[4'-(ethylsulfinyl)[1,1'-biphenyl]-3-yl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-39-4 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-1-[4'-[1-(hydroxyimino)ethyl][1,1'-biphenyl]-3-yl]-N-(1-methylethyl)-4-oxo-(9CI)(CA INDEX NAME)

RN 477252-40-7 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-4-oxo-1-[4'-(1-piperazinyl)[1,1'-biphenyl]-3-yl]- (9CI) (CA INDEX NAME)

RN 477252-41-8 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[4'[(methylsulfonyl)methyl][1,1'-biphenyl]-3-yl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-44-1 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-1-[3-(1-oxido-3-pyridinyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-46-3 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-[3-[3-[(1-methylethyl)amino]carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl]phenyl]-, ethyl ester, 1-oxide (9CI) (CA INDEX NAME)

RN 477252-47-4 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-1-[3-[5-(1-hydroxy-1-methylethyl)-1-oxido-3-pyridinyl]phenyl]-N-(1-methylethyl)-4-oxo- (9CI) (CA INDEX NAME)

477252-48-5 CAPLUS

RN

NHPr-i

RN 477252-49-6 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-1-[3-(6-methyl-1-oxido-3-pyridinyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-50-9 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-(1-oxido-3-pyridinyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-51-0 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[6-(1-hydroxy-1-methylethyl)-1-oxido-3-pyridinyl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-53-2 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-(1-oxido-4-pyridinyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-54-3 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1-[3-(5-bromo-1-oxido-3-pyridinyl)phenyl]-N-cyclopropyl-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-55-4 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[6'-(1-hydroxy-1-methylethyl)-1'-oxido[3,3'-bipyridin]-5-yl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-56-5 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[6'-(1-hydroxy-1-methylethyl)-1-oxido[3,3'-bipyridin]-5-yl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-57-6 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[6'-(1-hydroxy-1-methylethyl)-1,1'-dioxido[3,3'-bipyridin]-5-yl]phenyl]-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-58-7 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-1-[3-(1-oxido-3-quinolinyl)phenyl]-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-59-8 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-1-[3-[6-(1-hydroxy-1-methylethyl)-1-oxido-3-pyridinyl]phenyl]-N-(2-methylpropyl)-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-60-1 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-(6-methyl-1-oxido-3-pyridinyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-61-2 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[6-(methylsulfonyl)-1-oxido-3-pyridinyl]phenyl]-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-62-3 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1-[3-bromo-5-[6-(1-hydroxy-1-methylethyl)-1-oxido-3-pyridinyl]phenyl]-N-cyclopropyl-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-63-4 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1-[3-[6-(1,2-dihydroxy-1-methylethyl)-1-oxido-3-pyridinyl]phenyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-64-5 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[2-(1-hydroxy-1-methylethyl)-1-oxido-4-pyridinyl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-65-6 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[2-(1-hydroxy-1-methylethyl)-4-pyridinyl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 694489-50-4 CAPLUS

1,8-Naphthyridine-3-carboxamide, 1-(3'-acetyl[1,1'-biphenyl]-3-yl)-N-(3,5-dichloro-4-pyridinyl)-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

RN 694489-58-2 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-(3,5-dichloro-4-pyridinyl)-1,4-dihydro-1-[3-(1-oxido-3-pyridinyl)phenyl]-4-oxo-(9CI) (CA INDEX NAME)

RN 701977-62-0 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1-[4'-(1,6-dihydro-6-oxo-3-pyridinyl)[1,1'-biphenyl]-3-yl]-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2004:467889 CAPLUS

DOCUMENT NUMBER:

141:38596

TITLE:

Preparation of biphenylnaphthyridonecarboxamides as

phosphodiesterase-4 inhibitors

INVENTOR(S):

Dube, Daniel; Gallant, Michel; Lacombe, Patrick; Aspiotis, Renee; Dube, Laurence; Girard, Yves;

MacDonald, Dwight

PATENT ASSIGNEE(S):

Merck Frosst Canada & Co., Can.

SOURCE:

PCT Int. Appl., 116 pp.

DOCUMENT TYPE:

CODEN: PIXXD2 Patent

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.						KIND			APPLICATION NO.						DATE			
	WO 2004048374					A1		20040610		WO 2003-CA1800					20031119				
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
			CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	·DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KR,	KZ,	LC,	LK,	
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OTHER SOURCE(S):

MARPAT 141:38596

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AB Title compds. [I; Ar = Ph, pyridyl, pyrimidyl, indolyl, quinolyl, thienyl, pyridonyl, oxazolyl, oxadiazolyl, thiadiazolyl, imidazolyl; Y = CO2R4, ACO2R4, etc.; A = alkyl; R, R4 = H, alkyl; Rl = H, (substituted) alkyl, cycloalkyl, alkoxy, alkenyl, alkynyl, heteroaryl, heterocyclyl; R2 = H, halo, cyano, NO2, (substituted) alkyl, cycloalkyl, alkoxy, Ph, heteroaryl, amino, etc.; R3 = H, halo, cyano, NO2, (substituted) alkyl, cycloalkyl, etc.], were prepared Thus, title compound (II) (preparation outlined) inhibited

PDE4-mediated hydrolysis of cAMP to AMP with IC50 = 0.1 nM.

IT 702639-52-9P 702639-53-0P 702639-54-1P

·702639-55-2P 702639-56-3P 702639-57-4P

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702639-58-5P 702639-59-6P 702639-60-9P
702639-61-0P 702639-62-1P 702639-63-2P
702639-64-3P 702639-65-4P 702639-66-5P
702639-67-6P 702639-69-8P 702639-71-2P
702639-73-4P 702639-76-7P 702639-78-9P
702639-80-3P 702639-81-4P 702639-83-6P
702639-85-8P 702639-86-9P 702639-88-1P
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702640-06-0P 702640-08-2P 702640-10-6P
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702640-25-3P 702640-26-4P 702640-27-5P
702640-28-6P 702640-29-7P 702640-30-0P
702640-31-1P 702640-32-2P 702640-33-3P
702640-34-4P 702640-35-5P 702640-36-6P
702640-37-7P 702640-38-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
   (claimed compound; preparation of biphenylnaphthyridonecarboxamides as
  phosphodiesterase-4 inhibitors)
702639-52-9 CAPLUS
Cyclopropanecarboxylic acid, 2-[3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-
1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-, (1R,2R)-rel- (9CI)
INDEX NAME)
```

Relative stereochemistry.

RN

CN

RN 702639-53-0 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[3'-[3-[(cyclopropylamino)carbonyl]-4-oxo1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-3-yl]-, (1R,2R)-rel- (9CI) (CA
INDEX NAME)

RN 702639-54-1 CAPLUS

CN [1,1'-Biphenyl]-3-acetic acid, 3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl]- α , α -dimethyl- (9CI) (CA INDEX NAME)

RN 702639-55-2 CAPLUS

CN [1,1'-Biphenyl]-4-acetic acid, 3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl]- α , α -dimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me & & \\ HO_2C-C & & \\ Me & N & N & O \\ \hline & Me & C-NH & \\ \hline & O & \\ \end{array}$$

RN 702639-56-3 CAPLUS

CN [1,1'-Biphenyl]-4-propanoic acid, $3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl]-\beta,\beta-dimethyl- (9CI) (CA INDEX NAME)$

$$\begin{array}{c|c} Me & \\ Ho_2C-CH_2-C & \\ Me & N & N & O \\ \hline & & C-NH & \\ \end{array}$$

RN 702639-57-4 CAPLUS

CN [1,1'-Biphenyl]-4-acetic acid, 3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl]- α -hydroxy- (9CI) (CA INDEX NAME)

RN 702639-58-5 CAPLUS

CN Cyclopropanecarboxylic acid, 1-[3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)

RN 702639-59-6 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-, (1R,2S)-rel- (9CI) (CA INDEX NAME)

RN 702639-60-9 CAPLUS

CN 1,3-Dioxolane-4-carboxylic acid, 5-[3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-2,2-dimethyl- (9CI) (CA INDEX NAME)

RN 702639-61-0 CAPLUS

CN Cyclopropanecarboxylic acid, 1-[3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-3-yl]- (9CI) (CA INDEX NAME)

RN 702639-62-1 CAPLUS

CN Cyclopropanecarboxylic acid, 1-cyano-3-[3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-2,2-dimethyl- (9CI) (CA INDEX NAME)

RN 702639-63-2 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl]-3-fluoro[1,1'-biphenyl]-4-yl]-, (1R,2R)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 702639-64-3 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-3-yl]-, (1R,2S)-rel- (9CI) (CA INDEX NAME)

RN 702639-65-4 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3'-bromo-5'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-, (1R,2R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 702639-66-5 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl]-3-methyl[1,1'-biphenyl]-4-yl]-, (1R,2R)-rel-(9CI) (CA INDEX NAME)

RN 702639-67-6 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl]-2-methyl[1,1'-biphenyl]-4-yl]-, (1R,2R)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 702639-69-8 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3-chloro-3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-, (1R,2R)-rel- (9CI) (CA INDEX NAME)

RN 702639-71-2 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl]-3-fluoro[1,1'-biphenyl]-4-yl]-, (1R,2S)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 702639-73-4 CAPLUS

CN [1,1'-Biphenyl]-4-carboxylic acid, 3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl]- (9CI) (CA INDEX NAME)

RN 702639-76-7 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3'-[4-oxo-3-[[[5-(trifluoromethyl)-1,3,4-thiadiazol-2-yl]amino]carbonyl]-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-, (1R,2R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 702639-78-9 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3'-[3-[[[2-(methylthio)ethyl]amino]carbony 1]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-, (1R,2R)-rel-(9CI) (CA INDEX NAME)

RN 702639-80-3 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3'-[3-[[[2-(methylsulfonyl)ethyl]amino]car bonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-, (1R,2R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 702639-81-4 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3'-[4-oxo-3-[[(2,2,2-trifluoroethyl)amino]carbonyl]-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-, (1R,2R)-rel- (9CI) (CA INDEX NAME)

RN 702639-83-6 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[5-[3-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl]phenyl]-2-thienyl]-, (1R,2R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN . 702639-85-8 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3'-[3-[[(cyclopropylmethyl)amino]carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-, (1R,2R)-rel- (9CI) (CA INDEX NAME)

RN 702639-86-9 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3'-[3-[[(1-cyanocyclopropyl)amino]carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-, (1R,2R)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 702639-88-1 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, β,β-dimethyl-3'-[3-[[(1-methylethyl)amino]carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl]- (9CI) (CA INDEX NAME)

RN 702639-90-5 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3-fluoro-3'-[4-oxo-3-[[(2,2,2-trifluoroethyl)amino]carbonyl]-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-, (1R,2R)-rel-(+)- (9CI) (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.

RN 702639-91-6 CAPLUS

CN Cyclobutanecarboxylic acid, 1-[[3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)

RN 702639-93-8 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-2-methyl-, (1R,2R)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 702639-95-0 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-2-yl]-, (1R,2R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 702639-96-1 CAPLUS

CN [1,1'-Biphenyl]-4-propanoic acid, β , β -dimethyl-3'-[4-oxo-3-[(2,2,2-trifluoroethyl)amino]carbonyl]-1,8-naphthyridin-1(4H)-yl]- (9CI) (CA INDEX NAME)

RN 702639-98-3 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[3'-[4-oxo-3-[[(2,2,2-trifluoroethyl)amino]carbonyl]-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-2-yl]-, (1R,2R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 702640-00-4 CAPLUS
Cyclopropanecarboxylic acid, 2-[3'-[4-oxo-3-[[(2,2,3,3,3-pentafluoropropyl)amino]carbonyl]-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-, (1R,2R)-rel- (9CI) (CA INDEX NAME)

RN 702640-02-6 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-1-fluoro-, (1R,2S)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 702640-04-8 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3-chloro-3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-, (1R,2R)-rel-(+)- (9CI) (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.

RN 702640-06-0 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3'-[4-oxo-3-[[(2,2,2-trifluoroethyl)amino]carbonyl]-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-, (1R,2R)-rel-(-)- (9CI) (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.

RN 702640-08-2 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-, ethyl ester, (1R,2R)-rel-(+)- (9CI) (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.

RN 702640-10-6 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-, 1-methylethyl ester, (1R,2R)-rel-(+)- (9CI) (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.

RN 702640-12-8 CAPLUS

CN [1,1'-Biphenyl]-4-propanoic acid, $3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl]-<math>\alpha$, α -dimethyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 702640-13-9 CAPLUS

CN [1,1'-Biphenyl]-4-propanoic acid, 3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl]- α , α -dimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me \\ HO_2C-C-CH_2 \\ Me \\ \end{array}$$

RN 702640-15-1 CAPLUS

CN [1,1'-Biphenyl]-3-propanoic acid, 3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl]- α , α -dimethyl- (9CI) (CA INDEX NAME)

RN 702640-16-2 CAPLUS

CN Cyclobutanecarboxylic acid, 1-[[3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-3-yl]methyl]- (9CI) (CA INDEX NAME)

RN 702640-17-3 CAPLUS

CN [1,1'-Biphenyl]-2-propanoic acid, 3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl]- α , α -dimethyl- (9CI) (CA INDEX NAME)

RN 702640-18-4 CAPLUS

CN Cyclobutanecarboxylic acid, 1-[[3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-2-yl]methyl]- (9CI) (CA INDEX NAME)

RN 702640-19-5 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3'-[3-[[(1,1-dimethylethyl)amino]carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-, (1R,2R)-rel-(+)-(9CI) (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.

RN 702640-20-8 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3'-[3-[(cyclobutylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-, (1R,2R)-rel-(+)- (9CI) (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.

RN 702640-21-9 CAPLUS

CN Bicyclo[1.1.1]pentane-1-carboxylic acid, 3-[3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)

RN 702640-22-0 CAPLUS

CN [1,1'-Biphenyl]-4-butanoic acid, 3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl]- γ -hydroxy- γ -methyl- (9CI) (CA INDEX NAME)

$$HO_2C-CH_2-CH_2-C$$
 Me
 N
 N
 O
 $C-NH$

RN 702640-23-1 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3'-[3-[[(1R,2S)-2-fluorocyclopropyl]amino]carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-, (1R,2R)-rel- (9CI) (CA INDEX NAME)

RN 702640-24-2 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3'-[3-[[(dicyclopropylmethyl)amino]carbony 1]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-, (1R,2R)-rel-(+)- (9CI) (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.

RN 702640-25-3 CAPLUS

CN [1,1'-Biphenyl]-4-butanoic acid, 3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl]- α , α -dimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{HO}_2\text{C}-\text{C}-\text{CH}_2-\text{CH}_2 \\ \text{Me} \end{array}$$

RN 702640-26-4 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3'-[3-[[(1-hydroxycyclopropyl)amino]carbon yl]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-, (1R,2R)-rel-(+)- (9CI) (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.

RN 702640-27-5 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3'-[4-oxo-3-[[(1-phenylcyclopropyl)amino]carbonyl]-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-, (1R,2R)-rel-(+)- (9CI) (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.

RN 702640-28-6 CAPLUS

CN [1,1'-Biphenyl]-4-butanoic acid, 3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl]- β , β -dimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Ho}_2\text{C}-\text{CH}_2-\text{C}-\text{CH}_2 \\ \text{Me} \\ \end{array}$$

RN 702640-29-7 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3'-[3-[[(1-cyclopropyl-1-methylethyl)amino]carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-, (1R,2R)-rel-(+)- (9CI) (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.

RN 702640-30-0 CAPLUS

CN Cyclobutanecarboxylic acid, 1-[[3'-[4-oxo-3-[[(2,2,2-trifluoroethyl)amino]carbonyl]-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)

RN 702640-31-1 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3'-[3-[[(cyclopropylmethyl)amino]carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-, (1R,2R)-rel-(+)-(9CI) (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.

RN 702640-32-2 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3-fluoro-3'-[3-[['(1-hydroxycyclopropyl)amino]carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-, (1R,2R)-rel-(-)- (9CI) (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.

RN 702640-33-3 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3'-[4-oxo-3-[[(2,2,2-trifluoro-1-methylethyl)amino]carbonyl]-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-, (1R,2R)-rel- (9CI) (CA INDEX NAME)

RN 702640-34-4 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3'-[3-[[(1-methylcyclopropyl)amino]carbony 1]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-, (1R,2R)-rel-(+)- (9CI) (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.

RN 702640-35-5 CAPLUS [1,1'-Biphenyl]-4-butanoic acid, α,α -dimethyl-3'-[4-oxo-3-[(2,2,2-trifluoroethyl)amino]carbonyl]-1,8-naphthyridin-1(4H)-yl]- (9CI) (CA INDEX NAME)

RN 702640-36-6 CAPLUS [1,1'-Biphenyl]-4-propanoic acid, α , α -dimethyl-3'-[4-oxo-3-[[(2,2,2-trifluoroethyl)amino]carbonyl]-1,8-naphthyridin-1(4H)-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me \\ HO_2C-C-CH_2 \\ Me \\ N \\ N \\ N \\ N \\ C-NH-CH_2-CF_3 \\ \end{array}$$

RN 702640-37-7 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[3-chloro-3'-[3[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'biphenyl]-4-yl]-, (1R,2R)-rel-(-)- (9CI) (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.

CN Cyclopropanecarboxylic acid, 2-[3'-[4-oxo-3-[[(2,2,2-trifluoroethyl)amino]carbonyl]-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-, (1R,2R)-rel-(+)- (9CI) (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.

IT 702640-46-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of biphenylnaphthyridonecarboxamides as phosphodiesterase-4 inhibitors)

RN 702640-46-8 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3-fluoro-3'-[4-oxo-3-[[(2,2,2-trifluoroethyl)amino]carbonyl]-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-, (1R,2R)-rel-(-)- (9CI) (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.

IT 701263-25-4 702640-57-1 702640-59-3
702640-62-8 702640-64-0 702640-66-2
702640-70-8 702640-74-2 702640-76-4
702640-77-5 702640-79-7
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of biphenylnaphthyridonecarboxamides as phosphodiesterase-4 inhibitors)

RN 701263-25-4 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-4-oxo-1-[3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 702640-57-1 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-, methyl ester, (1R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 702640-59-3 CAPLUS

CN 1,3-Dioxolane-4-carboxylic acid, 5-[3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-2,2-dimethyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 702640-62-8 CAPLUS

CN Cyclopropanecarboxylic acid, 1-cyano-3-[3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-2,2-dimethyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 702640-64-0 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl]-3-fluoro[1,1'-biphenyl]-4-yl]-, methyl ester, (1R,2R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 702640-66-2 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3'-bromo-5'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-, ethyl ester, (1R,2R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 702640-70-8 CAPLUS

CN [1,1'-Biphenyl]-4-carboxylic acid, 3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 702640-74-2 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3'-[3-[[[2-(methylthio)ethyl]amino]carbony 1]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-, ethyl ester, (1R,2R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 702640-76-4 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-4-oxo-1-[3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 702640-77-5 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3-fluoro-3'-[4-oxo-3-[[(2,2,2-trifluoroethyl)amino]carbonyl]-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-, methyl ester, (1R,2R)-rel-(+)- (9CI) (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.

RN 702640-79-7 CAPLUS

CN Cyclobutanecarboxylic acid, 1-[[3'-[3-[(cyclopropylamino)carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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2

ACCESSION NUMBER:

2004:467741 CAPLUS

DOCUMENT NUMBER:

141:38595

TITLE:

Preparation of substituted naphthyridine

phosphodiesterase-4 inhibitors as enhancers of

cognition

INVENTOR(S):

Dube, Daniel; Gallant, Michel; Lacombe, Patrick; Girard, Yves; MacDonald, Dwight; Friesen, Richard; Ducharme, Yves; Cote, Bernard; Blouin, Marc; Martins,

Evelyn; Guay, Daniel; Girard, Mario; Frenette, Richard; Laliberte, Sebastien; Robichaud, Annette;

Mastracchio, Anthony; Perrier, Helene

PATENT ASSIGNEE(S):

Merck Frosst Canada & Co., Can.; Hamel, Pierre

SOURCE:

PCT Int. Appl., 138 pp.

DOCUMENT TYPE:

Patent

LANGUAGE:

English

CODEN: PIXXD2

P.F	PATENT NO.					KIND		DATE		APPLICATION NO.					DATE			
WC	WO 2004047836					A1 20040610			WO 2003-CA1799					20031119				
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	GE,	
		GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KR,	ΚZ,	LC,	LK,	LR,	
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,	
		PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	·SL,	SY,	TJ,	TM,	TN,	
		TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW				
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	
		BY,	KG,	KZ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	
		ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	
		TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
AU	U 2003286024				A1 20040618			AU 2003-286024					20031119					
EF	1592419				A1	A1 20051109			EP 2003-776698					20031119				
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	SK		
·US	US 2006040981				A1	1 20060223				US 2005-536250 ·				20050520				
PRIORITY APPLN. INFO.:										US 2002-428541P]	2 2	0021	122	
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GI																		

AB Substituted naphthyridines are prepared as PDE-4 inhibitors. For instance, Et 3-(3-bromoanilino)-2-(2-chloronicotinoyl)acrylate (preparation given) is treated with NaH in THF to give Et 1-(3-bromophenyl)-1,4-dihydro[1,8]naphthyridin-4-one-3-carboxylate. This intermediate is saponified, coupled to i-PrNH2 (THF, Et3N, i-BuOCOCl) and coupled to 3-acetylphenylboronic acid (PhMe, EtOH, Na2CO3, trans-dibromobis(triphenylphosphine)palladium, reflux, 1 h) to give I. Example compds. have IC50 values of 0.1 to 90.0 nM for PDE4; these compds. are useful for enhancing memory, learning, retention, recall, awareness and judgement.

Ι

IT 477251-83-5P, N-Isopropyl-1-[3-(4-acetylphenyl)phenyl]-1,4dihydro[1,8]naphthyridin-4-one-3-carboxamide 477251-87-9P,
N-Isopropyl-1-[3-(pyridin-3-yl)phenyl]-1,4-dihydro[1,8]naphthyridin-4-one3-carboxamide 477251-91-5P, N-(2,6-Dichloropyridin-4-yl)-1-[3(pyridin-3-yl)phenyl]-1,4-dihydro[1,8]naphthyridin-4-one-3-carboxamide
477251-92-6P 477251-93-7P, N-Isopropyl-1-[3-(quinolin-3yl)phenyl]-1,4-dihydro[1,8]naphthyridin-4-one-3-carboxamide
477251-95-9P, N-Cyclopropyl-1-[3-(pyridin-3-yl)phenyl]-1,4-

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dihydro[1,8]naphthyridin-4-one-3-carboxamide 477251-97-1P,
N-Isopropyl-1-[3-[5-(methylsulfanyl)pyridin-3-yl]phenyl]-1,4-
dihydro[1,8]naphthyridin-4-one-3-carboxamide 477251-99-3P,
N-Cyclopropyl-1-[3-(4-hydroxymethylphenyl)phenyl]-1,4-
dihydro[1,8]naphthyridin-4-one-3-carboxamide 477252-00-9P,
N-Cyclopropyl-1-[3-(pyridin-4-yl)phenyl]-1,4-dihydro[1,8]naphthyridin-4-
one-3-carboxamide 477252-01-0P, N-Cyclopropyl-1-[3-[4-
(ethylsulfanyl)phenyl]phenyl]-1,4-dihydro[1,8]naphthyridin-4-one-3-
carboxamide 477252-05-4P, N-Isopropyl-1-[3-[4-
(methylsulfanyl)phenyl]phenyl]-1,4-dihydro[1,8]naphthyridin-4-one-3-
carboxamide 477252-07-6P, N-Isopropyl-1-[3-(5-carboethoxypyridin-
3-yl)phenyl]-1,4-dihydro[1,8]naphthyridin-4-one-3-carboxamide
477252-08-7P, N-Isopropyl-1-[3-[5-(1-hydroxy-1-methylethyl)pyridin-
3-yl]phenyl]-1,4-dihydro[1,8]naphthyridin-4-one-3-carboxamide
477252-09-8P, N-Isopropyl-1-[3-[6-(2-methylpropyl)pyridin-3-
yl]phenyl]-1,4-dihydro[1,8]naphthyridin-4-one-3-carboxamide
477252-10-1P 477252-11-2P, N-Isopropyl-1-[3-(6-
methylpyridin-3-yl)phenyl]-1,4-dihydro[1,8]naphthyridin-4-one-3-
carboxamide 477252-23-6P, N-Cyclopropyl-1-[3-(6-methylpyridin-3-
yl)phenyl]-1,4-dihydro[1,8]naphthyridin-4-one-3-carboxamide
477252-25-8P, N-Cyclopropyl-1-[3-(5-bromopyridin-3-yl)phenyl]-1,4-
dihydro[1,8]naphthyridin-4-one-3-carboxamide 477252-26-9P,
N-Cyclopropyl-1-[3-(6-benzyloxypyridin-3-yl)phenyl]-1,4-
dihydro[1,8]naphthyridin-4-one-3-carboxamide 477252-31-6P,
N-Isobutyl-1-[3-[6-(1-hydroxy-1-methylethyl)pyridin-3-yl]phenyl]-1,4-
dihydro[1,8]naphthyridin-4-one-3-carboxamide 477252-32-7P,
N-Cyclopropyl-1-[5-bromo-3-[6-(1-hydroxy-1-methylethyl)pyridin-3-
yl]phenyl]-1,4-dihydro[1,8]naphthyridin-4-one-3-carboxamide
477252-35-0P, N-Cyclopropyl-1-[3-(6-methylsulfonylpyridin-3-
yl)phenyl]-1,4-dihydro[1,8]naphthyridin-4-one-3-carboxamide
477252-43-0P, N-Cyclopropyl-1-[3-[5-[6-(1-hydroxy-1-
methylethyl)pyridin-3-yl]pyridin-3-yl]phenyl]-1,4-dihydro[1,8]naphthyridin-
4-one-3-carboxamide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); RACT (Reactant or reagent); USES (Uses)
   (preparation of substituted naphthyridine phosphodiesterase-4 inhibitors as
   enhancers of cognition)
477251-83-5 CAPLUS
1,8-Naphthyridine-3-carboxamide, 1-(4'-acetyl[1,1'-biphenyl]-3-yl)-1,4-
dihydro-N-(1-methylethyl)-4-oxo- (9CI) (CA INDEX NAME)
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RN

CN

RN 477251-87-9 CAPLUS
CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-4-oxo-1-[3-(3-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 477251-91-5 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-(2,6-dichloro-4-pyridinyl)-1,4-dihydro-4-oxo-1-[3-(3-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 477251-92-6 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[3'-[3-[[(1-methylethyl)amino]carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 477251-93-7 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-4-oxo-1-[3-(3-quinolinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 477251-95-9 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-4-oxo-1-[3-(3-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 477251-97-1 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-1-[3-[5-(methylthio)-3-pyridinyl]phenyl]-4-oxo-(9CI) (CA INDEX NAME)

RN 477251-99-3 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[4'-(hydroxymethyl)[1,1'-biphenyl]-3-yl]-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-00-9 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-4-oxo-1-[3-(4-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 477252-01-0 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1-[4'-(ethylthio)[1,1'-biphenyl]-3-yl]-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-05-4 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-1-[4'-(methylthio)[1,1'-biphenyl]-3-yl]-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-07-6 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-[3-[3-[(1-methylethyl)amino]carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 477252-08-7 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-1-[3-[5-(1-hydroxy-1-methylethyl)-3-pyridinyl]phenyl]-N-(1-methylethyl)-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-09-8 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-1-[3-[6-(2-

RN 477252-10-1 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1-[3-(5-acetyl-3-pyridinyl)phenyl]-1,4-dihydro-N-(1-methylethyl)-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-11-2 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-1-[3-(6-methyl-3-pyridinyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-23-6 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-(6-methyl-3-pyridinyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-25-8 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1-[3-(5-bromo-3-pyridinyl)phenyl]-N-cyclopropyl-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-26-9 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-4-oxo-1-[3-[6-(phenylmethoxy)-3-pyridinyl]phenyl]- (9CI) (CA INDEX NAME)

RN 477252-31-6 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-1-[3-[6-(1-hydroxy-1-methylethyl)-3-pyridinyl]phenyl]-N-(2-methylpropyl)-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-32-7 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1-[3-bromo-5-[6-(1-hydroxy-1-methylethyl)-3-pyridinyl]phenyl]-N-cyclopropyl-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-35-0 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[6-(methylsulfonyl)-3-pyridinyl]phenyl]-4-oxo-(9CI) (CA INDEX NAME)

$$Me - S$$

$$0$$

$$N$$

$$N$$

$$0$$

$$C - NH$$

RN 477252-43-0 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[6'-(1-hydroxy-1-methylethyl)[3,3'-bipyridin]-5-yl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

IT 477251-76-6P, N-Isopropyl-1-[3-(3-acetylphenyl)phenyl]-1,4dihydro[1,8]naphthyridin-4-one-3-carboxamide 477251-80-2P, N-(2,6-Dichloropyridin-4-yl)-1-[3-(3-acetylphenyl)phenyl]-1,4dihydro[1,8]naphthyridin-4-one-3-carboxamide 477251-82-4P 477251-84-6P, N-Isopropyl-1-[3-(2-methylphenyl)phenyl]-1,4dihydro[1,8]naphthyridin-4-one-3-carboxamide 477251-85-7P, N-Isopropyl-N-methyl-1-[3-(4-acetylphenyl)phenyl]-1,4dihydro[1,8]naphthyridin-4-one-3-carboxamide 477251-88-0P, N-Isopropyl-1-[3-(indol-5-yl)phenyl]-1,4-dihydro[1,8]naphthyridin-4-one-3carboxamide 477251-89-1P, N-tert-Butyl-1-[3-(4acetylphenyl)phenyl]-1,4-dihydro[1,8]naphthyridin-4-one-3-carboxamide 477251-94-8P, N-Isopropyl-1-[3-(pyrimidin-5-yl)phenyl]-1,4dihydro[1,8]naphthyridin-4-one-3-carboxamide 477252-02-1P, N-Cyclopropyl-1-[3-(3-thienyl)phenyl]-1,4-dihydro[1,8]naphthyridin-4-one-3carboxamide 477252-03-2P, N-Cyclopropyl-1-[3-(4sulfamoylphenyl)phenyl]-1,4-dihydro[1,8]naphthyridin-4-one-3-carboxamide 477252-04-3P, N-Isopropyl-1-[3-(3-ethoxyphenyl)phenyl]-1,4dihydro[1,8]naphthyridin-4-one-3-carboxamide 477252-06-5P, N-Isopropyl-1-[3-(3-acetyl-4-hydroxyphenyl)phenyl]-1,4dihydro[1,8]naphthyridin-4-one-3-carboxamide 477252-12-3P, N-Cyclopropyl-1-[3-(1-oxidopyrimidin-5-yl)phenyl]-1,4dihydro[1,8]naphthyridin-4-one-3-carboxamide 477252-13-4P, 1-[3-[6-(1-Hydroxy-1-methylethyl)-1-oxidopyridin-3-yl]phenyl]-1,4dihydro[1,8]naphthyridin-4-one-3-carboxamide 477252-14-5P 477252-15-6P, N-Cyclopropyl-1-[3-(5-methylsulfonylpyridin-3yl)phenyl]-1,4-dihydro[1,8]naphthyridin-4-one-3-carboxamide 477252-16-7P, N-Cyclopropyl-1-[3-[4-(1-hydroxy-1-methylethyl)-1oxidopyridin-2-yl]phenyl]-1,4-dihydro[1,8]naphthyridin-4-one-3-carboxamide 477252-17-8P, N-Cyclopropyl-1-[3-[5-(1-hydroxy-1methylethyl)pyridin-2-yl]phenyl]-1,4-dihydro[1,8]naphthyridin-4-one-3carboxamide 477252-18-9P, N-Cyclopropyl-1-[3-[3-(1-hydroxy-1methylethyl)pyridin-4-yl]phenyl]-1,4-dihydro[1,8]naphthyridin-4-one-3carboxamide 477252-19-0P, N-Cyclopropyl-1-[3-[3-(1-hydroxy-1methylethyl)-1-oxidopyridin-4-yl]phenyl]-1,4-dihydro[1,8]naphthyridin-4one-3-carboxamide 477252-21-4P, N-Cyclopropyl-1-[3-(6isopropylsulfonylpyridin-3-yl)phenyl]-1,4-dihydro[1,8]naphthyridin-4-one-3carboxamide 477252-22-5P, N-Cyclopropyl-1-[3-(6-methoxypyridin-3yl)phenyl]-1,4-dihydro[1,8]naphthyridin-4-one-3-carboxamide 477252-24-7P, N-Cyclopropyl-1-[3-[6-(2,2,2-trifluoroethoxy)pyridin-3-yl]phenyl]-1,4-dihydro[1,8]naphthyridin-4-one-3-carboxamide

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477252-27-0P, N-Cyclopropyl-1-[3-[6-(bis(cyclopropyl)(hydroxy)meth
yl)-1-oxidopyridin-3-yl]phenyl]-1,4-dihydro[1,8]naphthyridin-4-one-3-
carboxamide 477252-28-1P, N-Cyclopropyl-1-[3-[5-(1-hydroxy-1-
methylethyl)-1-oxidopyridin-2-yl]phenyl]-1,4-dihydro[1,8]naphthyridin-4-
one-3-carboxamide 477252-30-5P, N-Cyclopropyl-1-[3-[6-(1-hydroxy-
1-methylethyl)pyridin-3-yl]phenyl]-1,4-dihydro[1,8]naphthyridin-4-one-3-
carboxamide 477252-33-8P, N-Cyclopropyl-1-[3-[6-(1-hydroxy-1-
methylethyl)pyridin-2-yl]phenyl]-1,4-dihydro[1,8]naphthyridin-4-one-3-
carboxamide 477252-34-9P 477252-36-1P,
N-Isopropyl-1-[3-(5-methylsulfonylpyridin-3-yl)phenyl]-1,4-
dihydro[1,8]naphthyridin-4-one-3-carboxamide 477252-37-2P,
N=Cyclopropyl-1-[3-[4-(ethylsulfonyl)phenyl]phenyl]-1,4-
dihydro[1,8]naphthyridin-4-one-3-carboxamide 477252-38-3P,
N-Cyclopropyl-1-[3-[4-(ethylsulfinyl)phenyl]phenyl]-1,4-
dihydro[1,8]naphthyridin-4-one-3-carboxamide 477252-39-4P
477252-40-7P 477252-41-8P, N-Cyclopropyl-1-[3-[4-
[(methylsulfonyl)methyl]phenyl]-1,4-dihydro[1,8]naphthyridin-4-one-
3-carboxamide 477252-42-9P, N-Cyclopropyl-1-[3-(1,6-dihydro-6-
oxopyridin-3-yl)phenyl]-1,4-dihydro[1,8]naphthyridin-4-one-3-carboxamide
477252-44-1P, N-Isopropyl-1-[3-(1-oxidopyridin-3-yl)phenyl]-1,4-
dihydro[1,8]naphthyridin-4-one-3-carboxamide 477252-45-2P,
N-(2,6-Dichloropyridin-4-yl)-1-[3-(1-oxidopyridin-3-yl)phenyl]-1,4-
dihydro[1,8]naphthyridin-4-one-3-carboxamide 477252-46-3P,
N-I_{sopropyl-1-[3-(5-carboethoxy-1-oxidopyridin-3-y1)phenyl]-1,4-
dihydro[1,8]naphthyridin-4-one-3-carboxamide 477252-47-4P,
N-Isopropyl-1-[3-[5-(1-hydroxy-1-methylethyl)-1-oxidopyridin-3-yl]phenyl]-
1,4-dihydro[1,8]naphthyridin-4-one-3-carboxamide 477252-48-5P,
N-Isopropyl-1-[3-[6-(2-methylpropyl)-1-oxidopyridin-3-yl]phenyl]-1,4-
dihydro[1,8]naphthyridin-4-one-3-carboxamide 477252-49-6P,
N-Isopropyl-1-[3-(6-methyl-1-oxidopyridin-3-yl)phenyl]-1,4-
dihydro[1,8]naphthyridin-4-one-3-carboxamide 477252-50-9P,
N-Cyclopropyl-1-[3-(1-oxidopyridin-3-yl)phenyl]-1,4-
dihydro[1,8]naphthyridin-4-one-3-carboxamide 477252-51-0P,
N-Cyclopropyl-1-[3-[6-(1-hydroxy-1-methylethyl)-1-oxidopyridin-3-
yl]phenyl]-1,4-dihydro[1,8]naphthyridin-4-one-3-carboxamide
477252-53-2P, N-Cyclopropyl-1-[3-(1-oxidopyridin-4-yl)phenyl]-1,4-
dihydro[1,8]naphthyridin-4-one-3-carboxamide 477252-54-3P,
N-Cyclopropyl-1-[3-(5-bromo-1-oxidopyridin-3-yl)phenyl]-1,4-
dihydro[1,8]naphthyridin-4-one-3-carboxamide 477252-55-4P,
N-Cyclopropyl-1-[3-[5-[6-(1-hydroxy-1-methylethyl)-1-oxidopyridin-3-
yl]pyridin-3-yl]phenyl]-1,4-dihydro[1,8]naphthyridin-4-one-3-carboxamide
477252-57-6P, N-Cyclopropyl-1-[3-[5-[6-(1-hydroxy-1-methylethyl)-1-
oxidopyridin-3-yl]-1-oxidopyridin-3-yl]phenyl]-1,4-
dihydro[1,8]naphthyridin-4-one-3-carboxamide 477252-58-7P,
N-Isopropyl-1-[3-(1-oxidoquinolin-3-yl)phenyl]-1,4-
dihydro[1,8]naphthyridin-4-one-3-carboxamide 477252-59-8P,
N-Isobutyl-1-[3-[6-(1-hydroxy-1-methylethyl)-1-oxidopyridin-3-yl]phenyl]-
1,4-dihydro[1,8]naphthyridin-4-one-3-carboxamide 477252-60-1P,
N-Cyclopropyl-1-[3-(6-methyl-1-oxidopyridin-3-yl)phenyl]-1,4-
dihydro[1,8]naphthyridin-4-one-3-carboxamide 477252-61-2P,
N-Cyclopropyl-1-[3-(6-methylsulfonyl-1-oxidopyridin-3-yl)phenyl]-1,4-
dihydro[1,8]naphthyridin-4-one-3-carboxamide 477252-62-3P,
N-Cyclopropyl-1-[5-bromo-3-[6-(1-hydroxy-1-methylethyl)-1-oxidopyridin-3-
yl]phenyl]-1,4-dihydro[1,8]naphthyridin-4-one-3-carboxamide
477252-63-4P, N-Cyclopropyl-1-[3-[6-(1,2-dihydroxy-1-methylethyl)-
1-oxidopyridin-3-yl]phenyl]-1,4-dihydro[1,8]naphthyridin-4-one-3-
carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
   (preparation of substituted naphthyridine phosphodiesterase-4 inhibitors as
   enhancers of cognition)
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CN 1,8-Naphthyridine-3-carboxamide, 1-(3'-acetyl[1,1'-biphenyl]-3-yl)-1,4-dihydro-N-(1-methylethyl)-4-oxo- (9CI) (CA INDEX NAME)

RN 477251-80-2 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1-(3'-acetyl[1,1'-biphenyl]-3-yl)-N-(2,6-dichloro-4-pyridinyl)-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

RN 477251-82-4 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-4-oxo-1-(4'-propyl[1,1'-biphenyl]-3-yl)- (9CI) (CA INDEX NAME)

RN 477251-84-6 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-1-(2'-methyl[1,1'-biphenyl]-3-yl)-N-(1-methylethyl)-4-oxo- (9CI) (CA INDEX NAME)

RN 477251-85-7 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1-(4'-acetyl[1,1'-biphenyl]-3-yl)-1,4-dihydro-N-methyl-N-(1-methylethyl)-4-oxo-(9CI) (CA INDEX NAME)

RN 477251-88-0 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-1-[3-(1H-indol-5-yl)phenyl]-N-(1-methylethyl)-4-oxo- (9CI) (CA INDEX NAME)

RN 477251-89-1 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1-(4'-acetyl[1,1'-biphenyl]-3-yl)-N-(1,1-dimethylethyl)-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

RN 477251-94-8 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-4-oxo-1-[3-(5-pyrimidinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 477252-02-1 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-4-oxo-1-[3-(3-thienyl)phenyl]- (9CI) (CA INDEX NAME)

RN 477252-03-2 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1-[4'-(aminosulfonyl)[1,1'-biphenyl]-3-yl]-N-cyclopropyl-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-04-3 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1-(3'-ethoxy[1,1'-biphenyl]-3-yl)-1,4-dihydro-N-(1-methylethyl)-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-06-5 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1-(3'-acetyl-4'-hydroxy[1,1'-biphenyl]-3-yl)-1,4-dihydro-N-(1-methylethyl)-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-12-3 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-(1-oxido-5-pyrimidinyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-13-4 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-1-[3-[6-(1-hydroxy-1-methylethyl)-1-oxido-3-pyridinyl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-14-5 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-4-oxo-1-[4'-(3-pyridinyl)[1,1'-biphenyl]-3-yl]- (9CI) (CA INDEX NAME)

RN 477252-15-6 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[5-(methylsulfonyl)-3-pyridinyl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-16-7 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[4-(1-hydroxy-1-methylethyl)-1-oxido-2-pyridinyl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-17-8 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[5-(1-hydroxy-1-methylethyl)-2-pyridinyl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-18-9 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[3-(1-

RN 477252-19-0 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[3-(1-hydroxy-1-methylethyl)-1-oxido-4-pyridinyl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-21-4 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[6-[(1-methylethyl)sulfonyl]-3-pyridinyl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-22-5 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-(6-methoxy-3-pyridinyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-24-7 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-4-oxo-1-[3-[6-(2,2,2-trifluoroethoxy)-3-pyridinyl]phenyl]- (9CI) (CA INDEX NAME)

RN 477252-27-0 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1-[3-[6-(dicyclopropylhydroxymethyl)-1-oxido-3-pyridinyl]phenyl]-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-28-1 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[5-(1-hydroxy-1-methylethyl)-1-oxido-2-pyridinyl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-30-5 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[6-(1-hydroxy-1-methylethyl)-3-pyridinyl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-33-8 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[6-(1-hydroxy-1-methylethyl)-2-pyridinyl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-34-9 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-1-[4'-(methylsulfonyl)[1,1'-biphenyl]-3-yl]-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-36-1 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-1-[3-[5-(methylsulfonyl)-3-pyridinyl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-37-2 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1-[4'-(ethylsulfonyl)[1,1'-biphenyl]-3-yl]-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-38-3 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1-[4'-(ethylsulfinyl)[1,1'-biphenyl]-3-yl]-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-39-4 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-1-[4'-[1-(hydroxyimino)ethyl][1,1'-biphenyl]-3-yl]-N-(1-methylethyl)-4-oxo-(9CI)(CA INDEX NAME)

RN 477252-40-7 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-4-oxo-1-[4'-(1-piperazinyl)[1,1'-biphenyl]-3-yl]- (9CI) (CA INDEX NAME)

RN 477252-41-8 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[4'-[(methylsulfonyl)methyl][1,1'-biphenyl]-3-yl]-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-42-9 CAPLUS

1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1-[3-(1,6-dihydro-6-oxo-3-pyridinyl)phenyl]-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-44-1 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-1-[3-(1-oxido-3-pyridinyl)phenyl]-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-45-2 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-(2,6-dichloro-4-pyridinyl)-1,4-dihydro-1-[3-(1-oxido-3-pyridinyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-46-3 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-[3-[3-[(1-methylethyl)amino]carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl]phenyl]-, ethyl ester, 1-oxide (9CI) (CA INDEX NAME)

RN 477252-47-4 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-1-[3-[5-(1-hydroxy-1-methylethyl)-1-oxido-3-pyridinyl]phenyl]-N-(1-methylethyl)-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-48-5 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-1-[3-[6-(2-methylpropyl)-1-oxido-3-pyridinyl]phenyl]-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-49-6 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-1-[3-(6-methyl-1-oxido-3-pyridinyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-50-9 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-(1-oxido-3-pyridinyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-51-0 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[6-(1-hydroxy-1-methylethyl)-1-oxido-3-pyridinyl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-53-2 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-(1-oxido-4-pyridinyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-54-3 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1-[3-(5-bromo-1-oxido-3-pyridinyl)phenyl]-N-cyclopropyl-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-55-4 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[6'-(1-hydroxy-1-methylethyl)-1'-oxido[3,3'-bipyridin]-5-yl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-57-6 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[6'-(1-hydroxy-1-methylethyl)-1,1'-dioxido[3,3'-bipyridin]-5-yl]phenyl]-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-58-7 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-1-[3-(1-oxido-3-quinolinyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-59-8 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-1-[3-[6-(1-hydroxy-1-methylethyl)-1-oxido-3-pyridinyl]phenyl]-N-(2-methylpropyl)-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-60-1 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-(6-methyl-1-oxido-3-pyridinyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)

477252-61-2 CAPLUS

RN

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[6-(methylsulfonyl)-1-oxido-3-pyridinyl]phenyl]-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-62-3 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1-[3-bromo-5-[6-(1-hydroxy-1-methylethyl)-1-oxido-3-pyridinyl]phenyl]-N-cyclopropyl-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-63-4 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1-[3-[6-(1,2-dihydroxy-1-methylethyl)-1-oxido-3-pyridinyl]phenyl]-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2004:433770 CAPLUS

DOCUMENT NUMBER:

141:7041

TITLE:

One-pot preparation of naphthyridine derivatives,

useful as inhibitors of phosphodiesterase-4

INVENTOR(S):

Albaneze-Walker, Jennifer; Ceglia, Scott; Murry, Jerry

Anthony; Soheili, Arash

PATENT ASSIGNEE(S):

Merck & Co., Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 57 pp., which

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	AP:	PLICATION NO.	DATE		
	-						
US 2004102472	A1	20040527	US	2003-690118		20031021	
us 6909002	B2	20050621					
PRIORITY APPLN. INFO.:			US	2002-428315P	P	20021122	
			US	2003-472598P	P	20030522	
OTHER SOURCE(S):	CASRE	ACT 141:7041;	MA	RPAT 141:7041			

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

The invention relates to one-pot preparation of naphthyridine derivs. of formula I [wherein: ORl is a suitable leaving group; R2 is C1-8alkyl, (un)substituted (hetero)aryl], useful as inhibitors of phosphodiesterase-4 (no biol. data). For instance, naphthyridine derivative II was prepared via heterocyclization of Et 2-chloronicotinoylacetate, 3-bromoaniline, and acetic anhydride, hydrolysis of the obtained ester III (R3 = Br), amidation by isopropylamine, and subsequent phenylacetylation by 3-acetylphenylboronic acid (example 1, no yield data). Naphthyridine derivative IV was prepared using the prepared intermediate III [R3 = -B(OH)2]

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49-53).

477252-05-4P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (one-pot method of preparation of naphthyridine derivs. useful as inhibitors of phosphodiesterase-4)

RN 477252-05-4 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-1-[4'-(methylthio)[1,1'-biphenyl]-3-yl]-4-oxo-(9CI) (CA INDEX NAME)

IT 477251-76-6P 477251-82-4P 477251-83-5P 477251-84-6P 477251-85-7P 477251-87-9P 477251-88-0P 477251-89-1P 477251-92-6P 477251-93-7P 477251-94-8P 477251-95-9P 477251-97-1P 477251-99-3P 477252-03-2P 477252-04-3P 477252-06-5P 477252-07-6P 477252-08-7P 477252-09-8P 477252-10-1P

477252-11-2P 477252-13-4P 477252-14-5P 477252-15-6P 477252-16-7P 477252-21-4P 477252-22-5P 477252-23-6P 477252-24-7P 477252-25-8P 477252-26-9P 477252-27-0P 477252-28-1P 477252-30-5P 477252-31-6P 477252-32-7P 477252-33-8P 477252-34-9P 477252-35-0P 477252-36-1P 477252-39-4P 477252-40-7P 477252-41-8P 477252-43-0P 477252-64-5P 477252-65-6P 694489-50-4P 694489-52-6P 694489-53-7P 694489-54-8P 694489-55-9P 694489-56-0P 694489-57-1P RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation) (one-pot method of preparation of naphthyridine derivs. useful as inhibitors of phosphodiesterase-4) RN 477251-76-6 CAPLUS 1,8-Naphthyridine-3-carboxamide, 1-(3'-acetyl[1,1'-biphenyl]-3-yl)-1,4-CN dihydro-N-(1-methylethyl)-4-oxo- (9CI) (CA INDEX NAME)

Ac C NHPr-i

RN 477251-82-4 CAPLUS
CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-4-oxo-1-(4'-propyl[1,1'-biphenyl]-3-yl)- (9CI) (CA INDEX NAME)

RN 477251-83-5 CAPLUS
CN 1,8-Naphthyridine-3-carboxamide, 1-(4'-acetyl[1,1'-biphenyl]-3-yl)-1,4-dihydro-N-(1-methylethyl)-4-oxo-(9CI) (CA INDEX NAME)

RN 477251-84-6 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-1-(2'-methyl[1,1'-biphenyl]-3-yl)-N-(1-methylethyl)-4-oxo- (9CI) (CA INDEX NAME)

RN 477251-85-7 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1-(4'-acetyl[1,1'-biphenyl]-3-yl)-1,4-dihydro-N-methyl-N-(1-methylethyl)-4-oxo-(9CI) (CA INDEX NAME)

RN 477251-87-9 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-4-oxo-1-[3-(3-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 477251-88-0 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-1-[3-(1H-indol-5-yl)phenyl]-N-(1-methylethyl)-4-oxo-(9CI) (CA INDEX NAME)

RN 477251-89-1 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1-(4'-acetyl[1,1'-biphenyl]-3-yl)-N-(1,1-dimethylethyl)-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

RN 477251-92-6 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[3'-[3-[[(1-methylethyl)amino]carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 477251-93-7 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-4-oxo-1-[3-(3-quinolinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 477251-94-8 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-4-oxo-1-[3-(5-pyrimidinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 477251-95-9 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-4-oxo-1-[3-(3-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 477251-97-1 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-1-[3-[5-(methylthio)-3-pyridinyl]phenyl]-4-oxo-(9CI) (CA INDEX NAME)

RN 477251-99-3 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[4'-(hydroxymethyl)[1,1'-biphenyl]-3-yl]-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-00-9 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-4-oxo-1-[3-(4-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 477252-01-0 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1-[4'-(ethylthio)[1,1'-biphenyl]-3-yl]-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-02-1 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-4-oxo-1-[3-(3-thienyl)phenyl]- (9CI) (CA INDEX NAME)

RN 477252-03-2 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1-[4'-(aminosulfonyl)[1,1'-biphenyl]-3-yl]-N-cyclopropyl-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-04-3 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1-(3'-ethoxy[1,1'-biphenyl]-3-yl)-1,4-dihydro-N-(1-methylethyl)-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-06-5 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1-(3'-acetyl-4'-hydroxy[1,1'-biphenyl]-3-yl)-1,4-dihydro-N-(1-methylethyl)-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-07-6 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-[3-[3-[(1-methylethyl)amino]carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 477252-08-7 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-1-[3-[5-(1-hydroxy-1-methylethyl)-3-pyridinyl]phenyl]-N-(1-methylethyl)-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-09-8 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-1-[3-[6-(2-methylpropyl)-3-pyridinyl]phenyl]-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-10-1 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1-[3-(5-acetyl-3-pyridinyl)phenyl]-1,4-

RN 477252-11-2 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-1-[3-(6-methyl-3-pyridinyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-13-4 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-1-[3-[6-(1-hydroxy-1-methylethyl)-1-oxido-3-pyridinyl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-14-5 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-4-oxo-1-[4'-(3-pyridinyl)[1,1'-biphenyl]-3-yl]- (9CI) (CA INDEX NAME)

RN 477252-15-6 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[5-(methylsulfonyl)-3-pyridinyl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-16-7 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[4-(1-hydroxy-1-methylethyl)-1-oxido-2-pyridinyl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-21-4 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[6-[(1-methylethyl)sulfonyl]-3-pyridinyl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-22-5 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-(6-methoxy-3-pyridinyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-23-6 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-(6-methyl-3-pyridinyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-24-7 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-4-oxo-1-[3-[6-(2,2,2-trifluoroethoxy)-3-pyridinyl]phenyl]- (9CI) (CA INDEX NAME)

RN 477252-25-8 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1-[3-(5-bromo-3-pyridinyl)phenyl]-N-cyclopropyl-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-26-9 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-4-oxo-1-[3-[6-(phenylmethoxy)-3-pyridinyl]phenyl]- (9CI) (CA INDEX NAME)

RN 477252-27-0 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1-[3-[6-(dicyclopropylhydroxymethyl)-1-oxido-3-pyridinyl]phenyl]-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-28-1 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[5-(1-hydroxy-1-methylethyl)-1-oxido-2-pyridinyl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-30-5 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[6-(1-hydroxy-1-methylethyl)-3-pyridinyl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-31-6 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-1-[3-[6-(1-hydroxy-1-methylethyl)-3-pyr'idinyl]phenyl]-N-(2-methylpropyl)-4-oxo-(9CI) (CA INDÉX NAME)

RN 477252-32-7 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1-[3-bromo-5-[6-(1-hydroxy-1-methylethyl)-3-pyridinyl]phenyl]-N-cyclopropyl-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-33-8 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[6-(1-hydroxy-1-methylethyl)-2-pyridinyl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-34-9 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-1-[4'-(methylsulfonyl)[1,1'-biphenyl]-3-yl]-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-35-0 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[6-(methylsulfonyl)-3-pyridinyl]phenyl]-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-36-1 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-1-[3-[5-(methylsulfonyl)-3-pyridinyl]phenyl]-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-39-4 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-1-[4'-[1-(hydroxyimino)ethyl][1,1'-biphenyl]-3-yl]-N-(1-methylethyl)-4-oxo-(9CI)(CA INDEX NAME)

RN 477252-40-7 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-4-oxo-1-[4'-(1-piperazinyl)[1,1'-biphenyl]-3-yl]- (9CI) (CA INDEX NAME)

RN 477252-41-8 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[4'[(methylsulfonyl)methyl][1,1'-biphenyl]-3-yl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-43-0 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[6'-(1-hydroxy-1-methylethyl)[3,3'-bipyridin]-5-yl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-64-5 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[2-(1-hydroxy-1-methylethyl)-1-oxido-4-pyridinyl]phenyl]-4-oxo- (9CI) (CA INDEX

RN 477252-65-6 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[2-(1-hydroxy-1-methylethyl)-4-pyridinyl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 694489-50-4 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1-(3'-acetyl[1,1'-biphenyl]-3-yl)-N-(3,5-dichloro-4-pyridinyl)-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

RN 694489-52-6 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-(3,5-dichloro-4-pyridinyl)-1,4-dihydro-4-oxo-1-[3-(3-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 694489-53-7 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-1-[3-(1-oxido-5-pyrimidinyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 694489-54-8 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[4-(1-hydroxy-1-methylethyl)-2-pyridinyl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 694489-55-9 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1-[4'-(ethylsulfonyl)[1,1'-biphenyl]-3-yl]-1,4-dihydro-N-(1-methylethyl)-4-oxo-(9CI) (CA INDEX NAME)

RN 694489-56-0 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1-[4'-(ethylsulfinyl)[1,1'-biphenyl]-3-yl]-1,4-dihydro-N-(1-methylethyl)-4-oxo-(9CI) (CA INDEX NAME)

RN 694489-57-1 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1-[3'-(1,6-dihydro-6-oxo-3-pyridinyl)[1,1'-biphenyl]-3-yl]-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

IT 701263-25-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(one-pot method of preparation of naphthyridine derivs. useful as inhibitors of phosphodiesterase-4)

RN 701263-25-4 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-4-oxo-1-[3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]- (9CI) (CA INDEX NAME)

CN 3-Pyridinecarboxylic acid, 5-[3-[3-[(1-methylethyl)amino]carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl]phenyl]-, ethyl ester, 1-oxide (9CI) (CA INDEX NAME)

RN 477252-47-4 CAPLUS
CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-1-[3-[5-(1-hydroxy-1-methylethyl)-1-oxido-3-pyridinyl]phenyl]-N-(1-methylethyl)-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-48-5 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-1-[3-[6-(2-methylpropyl)-1-oxido-3-pyridinyl]phenyl]-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-49-6 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-1-[3-(6-methyl-1-oxido-3-pyridinyl)phenyl]-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-50-9 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-(1-oxido-3-pyridinyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-51-0 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[6-(1-hydroxy-1-methylethyl)-1-oxido-3-pyridinyl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-53-2 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-(1-oxido-4-pyridinyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-54-3 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1-[3-(5-bromo-1-oxido-3-pyridinyl)phenyl]-N-cyclopropyl-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-55-4 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[6'-(1-hydroxy-1-methylethyl)-1'-oxido[3,3'-bipyridin]-5-yl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-56-5 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[6'-(1-hydroxy-1-methylethyl)-1-oxido[3,3'-bipyridin]-5-yl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-57-6 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[6'-(1-hydroxy-1-methylethyl)-1,1'-dioxido[3,3'-bipyridin]-5-yl]phenyl]-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-58-7 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-1-[3-(1-oxido-3-quinolinyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-59-8 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-1-[3-[6-(1-hydroxy-1-methylethyl)-1-oxido-3-pyridinyl]phenyl]-N-(2-methylpropyl)-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-60-1 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-(6-methyl-1-oxido-3-pyridinyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-61-2 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[6-(methylsulfonyl)-1-oxido-3-pyridinyl]phenyl]-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-62-3 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1-[3-bromo-5-[6-(1-hydroxy-1-methylethyl)-1-oxido-3-pyridinyl]phenyl]-N-cyclopropyl-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-63-4 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1-[3-[6-(1,2-dihydroxy-1-methylethyl)-1-oxido-3-pyridinyl]phenyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

RN 694489-58-2 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-(3,5-dichloro-4-pyridinyl)-1,4-dihydro-1-[3-(1-oxido-3-pyridinyl)phenyl]-4-oxo-(9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 8 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN

1

ACCESSION NUMBER: DOCUMENT NUMBER:

2002:906219 CAPLUS 138:4594

TITLE:

Preparation of 1-biaryl-[1,8]naphthyridin-4-one phosphodiesterase IV inhibitors for treatment of

asthma and inflammation

INVENTOR(S):

Guay, Daniel; Girard, Mario; Hamel, Pierre; Laliberte, Sebastien; Friesen, Richard; Girard, Yves; Li, Chun

Merck Frosst Canada & Co., Can. PATENT ASSIGNEE(S):

SOURCE:

PCT Int. Appl., 166 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

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FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.				KIND DATE			APPLICATION NO.						DATE					
1	WO 2002094823						WO 2002-CA746					20020522							
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AΒ Title compds. I [wherein Ar = Ph, pyridyl, pyrimidyl, indolyl, quinolinyl, thienyl, pyridonyl, oxazolyl, oxadiazolyl, thiadiazolyl, imidazolyl, or heteroaryl oxides; R = H or alkyl; R1 = H or (un)substituted (cyclo)alkyl, alkoxy, alkenyl, alkynyl, heteroaryl, or heterocyclyl; R2 = H, halo, (cyclo)alkyl, alkoxy, amino, acyl, alkoxycarbonyl, alkylsulfamoyl, alkylsulfonyl, or (un)substituted Ph, heteroaryl, or heterocyclyl, etc.; R3 = H, OH, NH2, halo, (un) substituted alkyl; R4-R7 = independently H, halo, NH2, or (un) substituted alkyl or alkoxy; or pharmaceutically acceptable salts thereof] were prepared as phosphodiesterase IV (PDE4) inhibitors for the treatment of asthma and inflammation. For instance, Et 3-(3-bromoanilino)-2-(2-chloronicotinoyl)acrylate was cyclized using NaH in THF and the resulting ester saponified to give 1-(3-bromophenyl)-1,4dihydro-[1,8]naphthyridin-4-one-3-carboxylic acid. Amidation with isopropylamine, followed by treatment with 3-acetylphenylboronic acid in the presence of trans-PdBr2(PPh3)2 and Na2CO3 in toluene and EtOH gave II. I demonstrated PDE4 inhibitory activity by suppression of TNF- α secretion in LPS stimulated human blood with IC50 values generally ranging from $0.005~\mu\text{M}$ to $15.4~\mu\text{M}$. In a SPA based PDE activity assay, I inhibited the hydrolysis of cAMP to AMP by human recombinant phosphodiesterase IVa with IC50 values between 34.3 nM and 134.0 nM. ΙŤ 477251-83-5P, N-Isopropyl-1-[3-(4-acetylphenyl)phenyl]-4-oxo-1,4dihydro-[1,8]naphthyridine-3-carboxamide 477251-87-9P, N-Isopropyl-1-[3-(pyridin-3-yl)phenyl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxamide 477251-91-5P, N-(2,6-Dichloropyridin-4-yl)-1-[3-(pyridin-3-yl)phenyl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxamide 477251-92-6P, N-Isopropyl-1-[3-[4-[4-(tert-butyloxycarbonyl)piperazin-i-. yl]phenyl]phenyl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxamide 477251-93-7P, N-Isopropyl-1-[3-(quinolin-3-yl)phenyl]-4-oxo-1,4dihydro-[1,8]naphthyridine-3-carboxamide 477251-95-9P, N-Cyclopropyl-1-[3-(pyridin-3-yl)phenyl]-4-oxo-1,4-dihydro-[1,8] naphthyridine-3-carboxamide 477251-97-1P, N-Isopropyl-1-[3-(5-methylthiopyridin-3-yl)phenyl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxamide 477251-99-3P, N-Cyclopropyl-1-[3-(4-hydroxymethylphenyl)phenyl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxamide 477252-00-9P, N-Cyclopropyl-1-[3-(pyridin-4-yl)phenyl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxamide 477252-01-0P, N-Cyclopropyl-1-[3-(4-ethylthiophenyl)phenyl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxamide 477252-05-4P, N-Isopropyl-1-[3-(4-methylthiophenyl)phenyl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxamide 477252-07-6P, N-Isopropyl-1-[3-(5-carboethoxypyridin-3-yl)phenyl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxamide 477252-08-7P, N-Isopropyl-1-[3-[5-(1-hydroxy-1-methylethyl)pyridin-3-yl]phenyl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxamide 477252-09-8P,

N-Isopropyl-1-[3-[6-(2-methylpropyl)pyridin-3-yl]phenyl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxamide 477252-11-2P, N-Isopropyl-1-[3-(6-methylpyridin-3-yl)phenyl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxamide 477252-23-6P, N-Cyclopropyl-1-[3-(6-methylpyridin-3-yl)phenyl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxamide 477252-25-8P, N-Cyclopropyl-1-[3-(5-bromopyridin-3-yl)phenyl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxamide 477252-26-9P, N-Cyclopropyl-1-[3-(6-benzyloxypyridin-3-yl)phenyl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxamide 477252-31-6P, N-Isobutyl-1-[3-[6-(1-hydroxy-1-methylethyl)pyridin-3-yl]phenyl]-4-oxo-1,4dihydro-[1,8]naphthyridine-3-carboxamide 477252-32-7P, N-Cyclopropyl-1-[5-bromo-3-[6-(1-hydroxy-1-methylethyl)pyridin-3yl]phenyl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxamide 477252-35-0P, N-Cyclopropyl-1-[3-(6-methylsulfonylpyridin-3yl)phenyl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxamide 477252-43-0P, N-Cyclopropyl-1-[3-[5-[6-(1-hydroxy-1methylethyl)pyridin-3-yl]pyridin-3-yl]phenyl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxamide RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (PDE4 inhibitor; preparation of biarylnaphthyridinone PDE4 inhibitors by cyclization and arylation of (arylamino) (nicotinoyl) acrylates for treatment of asthma and inflammation) 477251-83-5 CAPLUS 1,8-Naphthyridine-3-carboxamide, 1-(4'-acetyl[1,1'-biphenyl]-3-yl)-1,4dihydro-N-(1-methylethyl)-4-oxo- (9CI) (CA INDEX NAME)

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CN

RN 477251-87-9 CAPLUS
CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-4-oxo-1-[3-(3-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 477251-91-5 CAPLUS
CN 1,8-Naphthyridine-3-carboxamide, N-(2,6-dichloro-4-pyridinyl)-1,4-dihydro-

RN 477251-92-6 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[3'-[3-[[(1-methylethyl)amino]carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl][1,1'-biphenyl]-4-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 477251-93-7 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-4-oxo-1-[3-(3-quinolinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 477251-95-9 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-4-oxo-1-[3-(3-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 477251-97-1 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-1-[3-[5-(methylthio)-3-pyridinyl]phenyl]-4-oxo-(9CI) (CA INDEX NAME)

RN 477251-99-3 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[4'-(hydroxymethyl)[1,1'-biphenyl]-3-yl]-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-00-9 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-4-oxo-1-[3-(4-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

RN '477252-01-0 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1-[4'-(ethylthio)[1,1'-biphenyl]-3-yl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-05-4 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-1-[4'-(methylthio)[1,1'-biphenyl]-3-yl]-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-07-6 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-[3-[3-[(1-methylethyl)amino]carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 477252-08-7 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-1-[3-[5-(1-hydroxy-1-methylethyl)-3-pyridinyl]phenyl]-N-(1-methylethyl)-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-09-8 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-1-[3-[6-(2-methylpropyl)-3-pyridinyl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-11-2 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-1-[3-(6-

RN 477252-23-6 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-(6-methyl-3-pyridinyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-25-8 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1-[3-(5-bromo-3-pyridinyl)phenyl]-N-cyclopropyl-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-26-9 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-4-oxo-1-[3-[6-(phenylmethoxy)-3-pyridinyl]phenyl]- (9CI) (CA INDEX NAME)

RN 477252-31-6 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-1-[3-[6-(1-hydroxy-1-methylethyl)-3-pyridinyl]phenyl]-N-(2-methylpropyl)-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-32-7 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1-[3-bromo-5-[6-(1-hydroxy-1-methylethyl)-3-pyridinyl]phenyl]-N-cyclopropyl-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-35-0 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[6-(methylsulfonyl)-3-pyridinyl]phenyl]-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-43-0 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[6'-(1-hydroxy-1-methylethyl)[3,3'-bipyridin]-5-yl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

IT 477251-76-6P, N-Isopropyl-1-[3-(3-acetylphenyl)phenyl]-4-oxo-1,4dihydro-[1,8]naphthyridine-3-carboxamide 477251-80-2P, N-(2,6-Dichloropyridin-4-yl)-1-[3-(3-acetylphenyl)phenyl]-4-oxo-1,4dihydro-[1,8]naphthyridine-3-carboxamide 477251-82-4P, N-Isopropyl-1-[3-(4-n-propylphenyl)phenyl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxamide 477251-84-6P, N-Isopropyl-1-[3-(2-methylphenyl)phenyl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxamide 477251-85-7P, N-Isopropyl-N-methyl-1-[3-(4-acetylphenyl)phenyl]-4-oxo-1,4-dihydro-[1,8] naphthyridine-3-carboxamide 477251-88-0P, N-Isopropyl-1-[3-(indol-5-yl)phenyl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxamide 477251-89-1P, N-tert-Butyl-1-[3-(4acetylphenyl)phenyl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxamide 477251-94-8P, N-Isopropyl-1-[3-(pyrimidin-5-yl)phenyl]-4-oxo-1,4dihydro-[1,8]naphthyridine-3-carboxamide 477252-02-1P, N-Cyclopropyl-1-[3-(3-thienyl)phenyl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxamide 477252-03-2P, N-Cyclopropyl-1-[3-(4sulfamoylphenyl)phenyl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxamide 477252-04-3P, N-Isopropyl-1-[3-(3-ethoxyphenyl)phenyl]-4-oxo-1,4dihydro-[1,8]naphthyridine-3-carboxamide 477252-06-5P, N-Isopropyl-1-[3-(3-acetyl-4-hydroxyphenyl)phenyl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxamide 477252-10-1P,

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N-Isopropyl-1-[3-(5-acetylpyridin-3-yl)phenyl]-4-oxo-1,4-dihydro-
[1,8]naphthyridine-3-carboxamide 477252-12-3P,
N-Cyclopropyl-1-[3-(1-oxidopyrimidin-5-yl)phenyl]-4-oxo-1,4-dihydro-
[1,8]naphthyridine-3-carboxamide 477252-13-4P,
1-[3-[6-(1-Hydroxy-1-methylethyl)-1-oxidopyridin-3-yl]phenyl]-4-oxo-1,4-
dihydro-[1,8]naphthyridine-3-carboxamide 477252-14-5P,
N-Isopropyl-1-[3-[4-(pyridin-3-yl)phenyl]phenyl]-4-oxo-1,4-dihydro-
[1,8] naphthyridine-3-carboxamide 477252-15-6P,
N-Cyclopropyl-1-[3-(5-methylsulfonylpyridin-3-yl)phenyl]-4-oxo-1,4-dihydro-
[1,8]naphthyridine-3-carboxamide 477252-16-7P,
N-Cyclopropyl-1-[3-[4-(1-hydroxy-1-methylethyl)-1-oxidopyridin-2-
yl]phenyl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxamide
477252-17-8P, N-Cyclopropyl-1-[3-[5-(1-hydroxy-1-
methylethyl)pyridin-2-yl]phenyl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-
carboxamide 477252-18-9P, N-Cyclopropyl-1-[3-[3-(1-hydroxy-1-
methylethyl)pyridin-4-yl]phenyl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-
carboxamide 477252-19-0P, N-Cyclopropyl-1-[3-[3-(1-hydroxy-1-
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[1,8]naphthyridine-3-carboxamide 477252-21-4P,
N-Cyclopropyl-1-[3-(6-isopropylsulfonylpyridin-3-yl)phenyl]-4-oxo-1,4-
dihydro-[1,8]naphthyridine-3-carboxamide 477252-22-5P,
N-Cyclopropyl-1-[3-(6-methoxypyridin-3-yl)phenyl]-4-oxo-1,4-dihydro-
[1,8]naphthyridine-3-carboxamide 477252-24-7P,
N-Cyclopropyl-1-[3-[6-(2,2,2-trifluoroethoxy)pyridin-3-yl]phenyl]-4-oxo-
1,4-dihydro-[1,8]naphthyridine-3-carboxamide 477252-27-0P,
N-Cyclopropyl-1-[3-[6-dicyclopropyl(hydroxy)methyl-1-oxidopyridin-3-
yl]phenyl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxamide
477252-28-1P, N-Cyclopropyl-1-[3-[5-(1-hydroxy-1-methylethyl)-1-
oxidopyridin-2-yl]phenyl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-
carboxamide 477252-30-5P, N-Cyclopropyl-1-[3-[6-(1-hydroxy-1-
methylethyl)pyridin-3-yl]phenyl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-
carboxamide 477252-33-8P, N-Cyclopropyl-1-[3-[6-(1-hydroxy-1-
methylethyl)pyridin-2-yl]phenyl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-
carboxamide 477252-34-9P, N-Isopropyl-1-[3-(4-
methylsulfonylphenyl)phenyl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-
carboxamide 477252-36-1P, N-Isopropyl-1-[3-(5-
methylsulfonylpyridin-3-yl)phenyl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-
carboxamide 477252-37-2P, N-Cyclopropyl-1-[3-(4-
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carboxamide 477252-38-3P, N-Cyclopropyl-1-[3-(4-
ethylsulfinylphenyl)phenyl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-
carboxamide 477252-39-4P, N-Isopropyl-1-[3-[4-(1-
oximidoethyl)phenyl]phenyl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-
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477252-41-8P, N-Cyclopropyl-1-[3-[4-(methylsulfonylmethyl)phenyl]p
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477252-42-9P, N-Cyclopropyl-1-[3-(1,6-dihydro-6-oxopyridin-3-
yl)phenyl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxamide
477252-44-1P, N-Isopropyl-1-[3-(1-oxidopyridin-3-yl)phenyl]-4-oxo-
1,4-dihydro-[1,8]naphthyridine-3-carboxamide 477252-45-2P,
N-(2,6-Dichloropyridin-4-yl)-1-[3-(1-oxidopyridin-3-yl)phenyl]-4-oxo-1,4-
dihydro-[1,8]naphthyridine-3-carboxamide 477252-46-3P,
N-Isopropyl-1-[3-(5-carboethoxy-1-oxidopyridin-3-yl)phenyl]-4-oxo-1,4-
dihydro-[1,8]naphthyridine-3-carboxamide 477252-47-4P,
N-Isopropyl-1-[3-[5-(1-hydroxy-1-methylethyl)-1-oxidopyridin-3-yl]phenyl]-
4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxamide 477252-48-5P,
N-Isopropyl-1-[3-[6-(2-methylpropyl)-1-oxidopyridin-3-yl]phenyl]-4-oxo-1,4-
dihydro-[1,8]naphthyridine-3-carboxamide 477252-49-6P,
N-Isopropyl-1-[3-(6-methyl-1-oxidopyridin-3-yl)phenyl]-4-oxo-1,4-dihydro-
[1,8]naphthyridine-3-carboxamide 477252-50-9P,
N-Cyclopropyl-1-[3-(1-oxidopyridin-3-yl)phenyl]-4-oxo-1,4-dihydro-
[1,8]naphthyridine-3-carboxamide 477252-51-0P,
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N-Cyclopropyl-1-[3-[6-(1-hydroxy-1-methylethyl)-1-oxidopyridin-3-
yl]phenyl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxamide
477252-53-2P, N-Cyclopropyl-1-[3-(1-oxidopyridin-4-yl)phenyl]-4-
oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxamide 477252-54-3P,
N-Cyclopropyl-1-[3-(5-bromo-1-oxidopyridin-3-yl)phenyl]-4-oxo-1,4-dihydro-
[1,8]naphthyridine-3-carboxamide 477252-55-4P,
N-Cyclopropyl-1-[[3-[5-[6-(1-hydroxy-1-methylethyl)-1-oxidopyridin-3-
yl]pyridin-3-yl]phenyl]]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-
carboxamide 477252-56-5P, N-Cyclopropyl-1-[[3-[5-[6-(1-hydroxy-1-
methylethyl)pyridin-3-yl]-1-oxidopyridin-3-yl]phenyl]]-4-oxo-1,4-dihydro-
[1,8]naphthyridine-3-carboxamide 477252-57-6P,
N-Cyclopropyl-1-[[3-[5-[6-(1-hydroxy-1-methylethyl)-1-oxidopyridin-3-yl]-1-
oxidopyridin-3-yl]phenyl]]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-
carboxamide 477252-58-7P, N-Isopropyl-1-[3-(1-oxidoquinolin-3-
yl)phenyl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxamide
477252-59-8P, N-Isobutyl-1-[3-[6-(1-hydroxy-1-methylethyl)-1-
oxidopyridin-3-yl]phenyl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-
carboxamide 477252-60-1P, N-Cyclopropyl-1-[3-(6-methyl-1-
oxidopyridin-3-yl)phenyl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-
carboxamide 477252-61-2P, N-Cyclopropyl-1-[3-(6-methylsulfonyl-1-
oxidopyridin-3-yl)phenyl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-
carboxamide 477252-62-3P, N-Cyclopropyl-1-[5-bromo-3-[6-(1-
hydroxy-1-methylethyl)-1-oxidopyridin-3-yl]phenyl]-4-oxo-1,4-dihydro-
[1,8]naphthyridine-3-carboxamide 477252-63-4P,
N-Cyclopropyl-1-[3-[6-(1,2-dihydroxy-1-methylethyl)-1-oxidopyridin-3-
yl]phenyl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxamide
477252-64-5P 477252-65-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
   (PDE4 inhibitor; preparation of biarylnaphthyridinone PDE4 inhibitors by
   cyclization and arylation of (arylamino) (nicotinoyl) acrylates for
   treatment of asthma and inflammation)
477251-76-6 CAPLUS
1,8-Naphthyridine-3-carboxamide, 1-(3'-acetyl[1,1'-biphenyl]-3-yl)-1,4-
dihydro-N-(1-methylethyl)-4-oxo- (9CI) (CA INDEX NAME)
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477251-80-2 CAPLUS
1,8-Naphthyridine-3-carboxamide, 1-(3'-acetyl[1,1'-biphenyl]-3-yl)-N-(2,6-dichloro-4-pyridinyl)-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

RN 477251-82-4 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-4-oxo-1-(4'-propyl[1,1'-biphenyl]-3-yl)- (9CI) (CA INDEX NAME)

RN 477251-84-6 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-1-(2'-methyl[1,1'-biphenyl]-3-yl)-N-(1-methylethyl)-4-oxo- (9CI) (CA INDEX NAME)

RN 477251-85-7 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1-(4'-acetyl[1,1'-biphenyl]-3-yl)-1,4-dihydro-N-methyl-N-(1-methylethyl)-4-oxo-(9CI) (CA INDEX NAME)

RN 477251-88-0 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-1-[3-(1H-indol-5-yl)phenyl]-N-(1-methylethyl)-4-oxo-(9CI) (CA INDEX NAME)

RN 477251-89-1 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1-(4'-acetyl[1,1'-biphenyl]-3-yl)-N-(1,1-dimethylethyl)-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

RN 477251-94-8 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-4-oxo-1-[3-(5-pyrimidinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 477252-02-1 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-4-oxo-1-[3-(3-thienyl)phenyl]- (9CI) (CA INDEX NAME)

RN 477252-03-2 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1-[4'-(aminosulfonyl)[1,1'-biphenyl]-3-yl]-N-cyclopropyl-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

$$H_2N-S$$
 N
 N
 $C-NH$

RN 477252-04-3 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1-(3'-ethoxy[1,1'-biphenyl]-3-yl)-1,4-dihydro-N-(1-methylethyl)-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-06-5 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1-(3'-acetyl-4'-hydroxy[1,1'-biphenyl]-3-yl)-1,4-dihydro-N-(1-methylethyl)-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-10-1 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1-[3-(5-acetyl-3-pyridinyl)phenyl]-1,4-dihydro-N-(1-methylethyl)-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-12-3 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-(1-oxido-5-pyrimidinyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-13-4 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-1-[3-[6-(1-hydroxy-1-methylethyl)-1-oxido-3-pyridinyl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ HO & N & & \\ Me & C & & \\ Me & & N & N \\ & & & \\ Me & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 477252-14-5 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-4-oxo-1-[4'-(3-pyridinyl)[1,1'-biphenyl]-3-yl]- (9CI) (CA INDEX NAME)

RN 477252-15-6 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[5-(methylsulfonyl)-3-pyridinyl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-16-7 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[4-(1-hydroxy-1-methylethyl)-1-oxido-2-pyridinyl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-17-8 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[5-(1-hydroxy-1-methylethyl)-2-pyridinyl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-18-9 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[3-(1-

RN 477252-19-0 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[3-(1-hydroxy-1-methylethyl)-1-oxido-4-pyridinyl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-21-4 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[6-[(1-methylethyl)sulfonyl]-3-pyridinyl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-22-5 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-(6-methoxy-3-pyridinyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-24-7 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-4-oxo-1-[3-[6-(2,2,2-trifluoroethoxy)-3-pyridinyl]phenyl]- (9CI) (CA INDEX NAME)

RN 477252-27-0 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1-[3-[6-(dicyclopropylhydroxymethyl)-1-oxido-3-pyridinyl]phenyl]-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-28-1 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[5-(1-hydroxy-1-methylethyl)-1-oxido-2-pyridinyl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-30-5 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[6-(1-hydroxy-1-methylethyl)-3-pyridinyl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-33-8 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[6-(1-hydroxy-1-methylethyl)-2-pyridinyl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-34-9 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-1-[4'-(methylsulfonyl)[1,1'-biphenyl]-3-yl]-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-36-1 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-1-[3-[5-(methylsulfonyl)-3-pyridinyl]phenyl]-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-37-2 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1-[4'-(ethylsulfonyl)[1,1'-biphenyl]-3-yl]-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-38-3 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1-[4'-(ethylsulfinyl)[1,1'-biphenyl]-3-yl]-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-39-4 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-1-[4'-[1-(hydroxyimino)ethyl][1,1'-biphenyl]-3-yl]-N-(1-methylethyl)-4-oxo-(9CI)(CA INDEX NAME)

RN 477252-40-7 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-4-oxo-1-[4'-(1-piperazinyl)[1,1'-biphenyl]-3-yl]- (9CI) (CA INDEX NAME)

RN 477252-41-8 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[4'[(methylsulfonyl)methyl][1,1'-biphenyl]-3-yl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-42-9 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1-[3-(1,6-dihydro-6-oxo-3-pyridinyl)phenyl]-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-44-1 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-1-[3-(1-oxido-3-pyridinyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-45-2 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-(2,6-dichloro-4-pyridinyl)-1,4-dihydro-1-[3-(1-oxido-3-pyridinyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-46-3 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-[3-[3-[(1-methylethyl)amino]carbonyl]-4-oxo-1,8-naphthyridin-1(4H)-yl]phenyl]-, ethyl ester, 1-oxide (9CI) (CA INDEX NAME)

RN 477252-47-4 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-1-[3-[5-(1-hydroxy-1-methylethyl)-1-oxido-3-pyridinyl]phenyl]-N-(1-methylethyl)-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-48-5 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-1-[3-[6-(2-methylpropyl)-1-oxido-3-pyridinyl]phenyl]-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-49-6 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-1-[3-(6-methyl-1-oxido-3-pyridinyl)phenyl]-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-50-9 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-(1-oxido-3-pyridinyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-51-0 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[6-(1-hydroxy-1-methylethyl)-1-oxido-3-pyridinyl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-53-2 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-(1-oxido-4-pyridinyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-54-3 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1-[3-(5-bromo-1-oxido-3-pyridinyl)phenyl]-N-cyclopropyl-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-55-4 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[6'-(1-hydroxy-1-methylethyl)-1'-oxido[3,3'-bipyridin]-5-yl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-56-5 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[6'-(1-hydroxy-1-methylethyl)-1-oxido[3,3'-bipyridin]-5-yl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-57-6 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[6'-(1-hydroxy-1-methylethyl)-1,1'-dioxido[3,3'-bipyridin]-5-yl]phenyl]-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-58-7 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-N-(1-methylethyl)-1-[3-(1-oxido-3-quinolinyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-59-8 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1,4-dihydro-1-[3-[6-(1-hydroxy-1-methylethyl)-1-oxido-3-pyridinyl]phenyl]-N-(2-methylpropyl)-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-60-1 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-(6-methyl-1-oxido-3-pyridinyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-61-2 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[6-(methylsulfonyl)-1-oxido-3-pyridinyl]phenyl]-4-oxo-(9CI) (CA INDEX NAME)

RN 477252-62-3 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1-[3-bromo-5-[6-(1-hydroxy-1-methylethyl)-1-oxido-3-pyridinyl]phenyl]-N-cyclopropyl-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-63-4 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1-[3-[6-(1,2-dihydroxy-1-methylethyl)-1-oxido-3-pyridinyl]phenyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-64-5 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[2-(1-hydroxy-1-methylethyl)-1-oxido-4-pyridinyl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 477252-65-6 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[2-(1-hydroxy-1-methylethyl)-4-pyridinyl]phenyl]-4-oxo- (9CI) (CA INDEX NAME)

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